

**IN THE SPECIFICATION:**

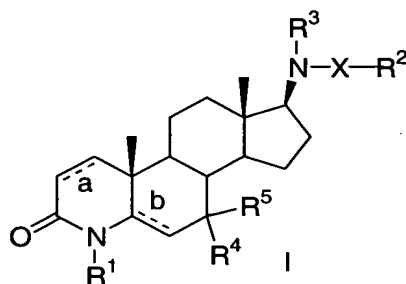
Please insert the following paragraph on page 1 after the Title:

This application is a §371 National Stage application of PCT/US2004/013787, filed on May 3, 2004, which claims priority from: U.S. Provisional Application No. 60/468,579 filed May 7, 2003.

**IN THE CLAIMS:**

This listing of claims will replace all prior versions, and listings of claims in the application:

1. **(Original)** A method for modulating the androgen receptor in a tissue selective manner in a patient in need of such modulation comprising administering a therapeutically effective amount of a compound of structural formula I:



wherein:

“a” and “b” are independently selected from a single bond and a double bond;

X is selected from:

- (A) -C(O)-,
- (B) -C(O)-O-,
- (C) -C(O)-N(R<sup>7</sup>)-, and
- (D) -S(O)<sub>n</sub>-;

R<sup>1</sup> is selected from:

- (A) C<sub>1-3</sub> alkyl,
- (B) C<sub>2-3</sub> alkenyl,
- (C) C<sub>3-6</sub> cycloalkyl,

- (D) C<sub>1-3</sub> alkyl wherein one or more of the hydrogen atoms has been replaced with a fluorine atom,
- (E) aryl, and
- (F) aryl-C<sub>1-3</sub> alkyl;

R<sup>2</sup> is selected from:

- (A) aryl, either unsubstituted or substituted with one to three substituents selected from:
  - (1) halogen,
  - (2) aryl,
  - (3) C<sub>1-8</sub> alkyl,
  - (4) C<sub>3-8</sub> cycloalkyl,
  - (5) C<sub>3-8</sub> cycloheteroalkyl,
  - (6) aryl C<sub>1-6</sub>alkyl,
  - (7) amino C<sub>0-6</sub>alkyl,
  - (8) C<sub>1-6</sub> alkylamino C<sub>0-6</sub>alkyl,
  - (9) (C<sub>1-6</sub> alkyl)<sub>2</sub>amino C<sub>0-6</sub>alkyl,
  - (10) aryl C<sub>0-6</sub> alkylamino C<sub>0-6</sub>alkyl,
  - (11) (aryl C<sub>0-6</sub> alkyl)<sub>2</sub>amino C<sub>0-6</sub>alkyl,
  - (12) C<sub>1-6</sub> alkylthio,
  - (13) aryl C<sub>0-6</sub>alkylthio,
  - (14) C<sub>1-6</sub> alkylsulfinyl,
  - (15) aryl C<sub>0-6</sub>alkylsulfinyl,
  - (16) C<sub>1-6</sub> alkylsulfonyl,
  - (17) aryl C<sub>0-6</sub>alkylsulfonyl,
  - (18) C<sub>1-6</sub> alkoxy C<sub>0-6</sub>alkyl,
  - (19) aryl C<sub>0-6</sub> alkoxy C<sub>0-6</sub>alkyl,
  - (20) hydroxycarbonyl C<sub>0-6</sub>alkyl,
  - (21) C<sub>1-6</sub> alkoxycarbonyl C<sub>0-6</sub>alkyl,
  - (22) aryl C<sub>0-6</sub> alkoxycarbonyl C<sub>0-6</sub>alkyl,
  - (23) hydroxycarbonyl C<sub>1-6</sub> alkyloxy,
  - (24) hydroxy C<sub>0-6</sub>alkyl,
  - (25) cyano,
  - (26) nitro,
  - (27) perfluoroC<sub>1-4</sub>alkyl,

- (28) perfluoroC<sub>1-4</sub>alkoxy,
  - (29) C<sub>1-6</sub> alkylcarbonyloxy,
  - (30) aryl C<sub>0-6</sub>alkylcarbonyloxy,
  - (31) alkyl C<sub>1-6</sub> carbonylamino,
  - (32) aryl C<sub>0-6</sub> alkylcarbonylamino,
  - (33) C<sub>1-6</sub> alkylsulfonylamino,
  - (34) aryl C<sub>0-6</sub>alkylsulfonylamino,
  - (35) C<sub>1-6</sub> alkoxycarbonylamino,
  - (36) aryl C<sub>0-6</sub> alkoxycarbonylamino,
  - (37) C<sub>1-6</sub>alkylaminocarbonylamino,
  - (38) aryl C<sub>0-6</sub>alkylaminocarbonylamino,
  - (39) (C<sub>1-6</sub>alkyl)<sub>2</sub> aminocarbonylamino,
  - (40) (aryl C<sub>0-6</sub>alkyl)<sub>2</sub> aminocarbonylamino,
  - (41) (C<sub>1-6</sub>alkyl)<sub>2</sub> aminocarbonyloxy,
  - (42) C<sub>0-6</sub> alkyl carbonyl C<sub>0-6</sub> alkyl,
  - (43) aryl C<sub>0-6</sub> alkyl carbonyl C<sub>0-6</sub> alkyl, and
  - (44) (aryl C<sub>0-6</sub>alkyl)<sub>2</sub> aminocarbonyloxy;
- (B) C<sub>1-8</sub> alkyl, unsubstituted or substituted with one to three substituents independently selected from:
- (1) halogen,
  - (2) C<sub>1-8</sub> alkyl,
  - (3) C<sub>3-8</sub> cycloalkyl,
  - (4) C<sub>3-8</sub> cycloheteroalkyl,
  - (5) amino,
  - (6) C<sub>1-6</sub> alkylamino,
  - (7) (C<sub>1-6</sub> alkyl)<sub>2</sub>amino,
  - (8) aryl C<sub>0-6</sub> alkylamino,
  - (9) (aryl C<sub>0-6</sub> alkyl)<sub>2</sub>amino,
  - (10) C<sub>1-6</sub> alkylthio,
  - (11) aryl C<sub>0-6</sub>alkylthio,
  - (12) C<sub>1-6</sub> alkylsulfinyl,
  - (13) aryl C<sub>0-6</sub>alkylsulfinyl,
  - (14) C<sub>1-6</sub> alkylsulfonyl,
  - (15) aryl C<sub>0-6</sub>alkylsulfonyl,

- (16) C<sub>1-6</sub> alkoxy,
  - (17) aryl C<sub>0-6</sub> alkoxy,
  - (18) hydroxycarbonyl,
  - (19) C<sub>1-6</sub> alkoxy carbonyl,
  - (20) aryl C<sub>0-6</sub> alkoxy carbonyl,
  - (21) hydroxycarbonyl C<sub>1-6</sub> alkyloxy,
  - (22) hydroxy,
  - (23) cyano,
  - (24) nitro,
  - (25) perfluoroC<sub>1-4</sub>alkyl,
  - (26) perfluoroC<sub>1-4</sub>alkoxy,
  - (27) oxo,
  - (28) C<sub>1-6</sub> alkylcarbonyloxy,
  - (29) aryl C<sub>0-6</sub>alkylcarbonyloxy,
  - (30) alkyl C<sub>1-6</sub> carbonylamino,
  - (31) aryl C<sub>0-6</sub> alkylcarbonylamino,
  - (32) C<sub>1-6</sub> alkylsulfonylamino,
  - (33) aryl C<sub>0-6</sub>alkylsulfonylamino,
  - (34) C<sub>1-6</sub> alkoxy carbonylamino,
  - (35) aryl C<sub>0-6</sub> alkoxy carbonylamino,
  - (36) C<sub>1-6</sub>alkylaminocarbonylamino,
  - (37) aryl C<sub>0-6</sub>alkylaminocarbonylamino,
  - (38) (C<sub>1-6</sub>alkyl)<sub>2</sub> aminocarbonylamino,
  - (39) (aryl C<sub>0-6</sub>alkyl)<sub>2</sub> aminocarbonylamino,
  - (40) (C<sub>1-6</sub>alkyl)<sub>2</sub> aminocarbonyloxy,
  - (41) (aryl C<sub>0-6</sub>alkyl)<sub>2</sub> aminocarbonyloxy, and
  - (42) spiro-C<sub>3-8</sub>cycloalkyl;
- (C) perfluoroC<sub>1-6</sub> alkyl,
- (D) aryl-C<sub>1-6</sub> alkyl-, wherein aryl is unsubstituted or substituted with 1 to 3 substituents independently selected from:
- (1) halogen,
  - (2) C<sub>1-8</sub> alkyl,
  - (3) C<sub>3-8</sub> cycloalkyl,
  - (4) aryl,

- (5) aryl C<sub>1-3</sub> alkyl-,
- (6) amino,
- (7) amino C<sub>1-6</sub> alkyl-,
- (8) C<sub>1-3</sub> acylamino,
- (9) C<sub>1-3</sub> acylamino C<sub>1-6</sub> alkyl,
- (10) C<sub>1-6</sub> alkylamino,
- (11) C<sub>1-6</sub> alkylamino C<sub>1-6</sub> alkyl,
- (12) di(C<sub>1-6</sub>) alkylamino,
- (13) di(C<sub>1-6</sub>) alkylamino-C<sub>1-6</sub> alkyl,
- (14) C<sub>1-4</sub> alkoxy,
- (15) C<sub>1-4</sub> alkylthio,
- (16) C<sub>1-4</sub> alkylsulfinyl,
- (17) C<sub>1-4</sub> alkylsulfonyl,
- (18) C<sub>1-4</sub> alkoxy C<sub>1-6</sub> alkyl,
- (19) hydroxycarbonyl,
- (20) hydroxycarbonyl C<sub>1-6</sub> alkyl,
- (21) C<sub>1-5</sub> alkoxycarbonyl,
- (22) C<sub>1-3</sub> alkoxycarbonyl C<sub>1-6</sub> alkyl,
- (23) hydroxycarbonyl C<sub>1-6</sub> alkyloxy,
- (24) hydroxy,
- (25) hydroxy C<sub>1-6</sub> alkyl,
- (26) cyano,
- (27) nitro,
- (28) trifluoromethyl,
- (29) trifluoromethoxy,
- (30) C<sub>1-5</sub> alkylcarbonyloxy;

and wherein alkyl is substituted with one to three substituents selected from:

- (1) halogen,
- (2) C<sub>3-8</sub> cycloalkyl,
- (3) C<sub>3-8</sub> cycloheteroalkyl,
- (4) amino,
- (5) C<sub>1-6</sub> alkylamino,
- (6) (C<sub>1-6</sub> alkyl)<sub>2</sub>amino,
- (7) aryl C<sub>0-6</sub> alkylamino,

- (8) (aryl C<sub>0-6</sub> alkyl)<sub>2</sub>amino,
- (9) C<sub>1-6</sub> alkylthio,
- (10) aryl C<sub>0-6</sub> alkylthio,
- (11) C<sub>1-6</sub> alkylsulfinyl,
- (12) aryl C<sub>0-6</sub>alkylsulfinyl,
- (13) C<sub>1-6</sub> alkylsulfonyl,
- (14) aryl C<sub>0-6</sub> alkylsulfonyl,
- (15) C<sub>1-6</sub> alkoxy,
- (16) aryl C<sub>0-6</sub> alkoxy,
- (17) hydroxycarbonyl,
- (18) C<sub>1-6</sub> alkoxycarbonyl,
- (19) aryl C<sub>0-6</sub> alkoxycarbonyl,
- (20) hydroxycarbonyl C<sub>1-6</sub> alkyloxy,
- (21) hydroxy,
- (22) cyano,
- (23) nitro,
- (24) trifluoroalkyl,
- (25) trifluoroalkoxy,
- (26) oxo,
- (27) C<sub>1-6</sub> alkylcarbonyloxy,
- (28) aryl C<sub>0-6</sub> alkylcarbonyloxy,
- (29) C<sub>1-6</sub> alkyl carbonylamino,
- (30) aryl C<sub>0-6</sub> alkylcarbonylamino,
- (31) C<sub>1-6</sub> alkylsulfonylamino,
- (32) aryl C<sub>0-6</sub> alkylsulfonylamino,
- (33) C<sub>1-6</sub> alkoxycarbonylamino,
- (34) aryl C<sub>0-6</sub> alkoxycarbonylamino,
- (35) C<sub>1-6</sub> alkylaminocarbonylamino,
- (36) aryl C<sub>0-6</sub> alkylaminocarbonylamino,
- (37) (C<sub>1-6</sub> alkyl)<sub>2</sub> aminocarbonylamino,
- (38) (aryl C<sub>0-6</sub> alkyl)<sub>2</sub> aminocarbonylamino,
- (39) (C<sub>1-6</sub> alkyl)<sub>2</sub> aminocarbonyloxy,
- (40) (aryl C<sub>0-6</sub> alkyl)<sub>2</sub> aminocarbonyloxy, and
- (41) spiro-C<sub>3-8</sub> cycloalkyl;

(E) C<sub>2-8</sub> alkenyl, unsubstituted or substituted with one to three substituents

independently selected from:

- (1) halogen,
- (2) C<sub>1-8</sub> alkyl,
- (3) C<sub>3-8</sub> cycloalkyl,
- (4) C<sub>3-8</sub> cycloheteroalkyl,
- (5) amino,
- (6) C<sub>1-6</sub> alkylamino,
- (7) (C<sub>1-6</sub> alkyl)<sub>2</sub>amino,
- (8) aryl C<sub>0-6</sub> alkylamino,
- (9) (aryl C<sub>0-6</sub> alkyl)<sub>2</sub>amino,
- (10) C<sub>1-6</sub> alkylthio,
- (11) aryl C<sub>0-6</sub>alkylthio,
- (12) C<sub>1-6</sub> alkylsulfinyl,
- (13) aryl C<sub>0-6</sub>alkylsulfinyl,
- (14) C<sub>1-6</sub> alkylsulfonyl,
- (15) aryl C<sub>0-6</sub>alkylsulfonyl,
- (16) C<sub>1-6</sub> alkoxy,
- (17) aryl C<sub>0-6</sub> alkoxy,
- (18) hydroxycarbonyl,
- (19) C<sub>1-6</sub> alkoxycarbonyl,
- (20) aryl C<sub>0-6</sub> alkoxycarbonyl,
- (21) hydroxycarbonyl C<sub>1-6</sub> alkyloxy,
- (22) hydroxy,
- (23) cyano,
- (24) nitro,
- (25) perfluoroC<sub>1-4</sub>alkyl,
- (26) perfluoroC<sub>1-4</sub>alkoxy,
- (27) oxo,
- (28) C<sub>1-6</sub> alkylcarbonyloxy,
- (29) aryl C<sub>0-6</sub>alkylcarbonyloxy,
- (30) alkyl C<sub>1-6</sub> carbonylamino,
- (31) aryl C<sub>0-6</sub> alkylcarbonylamino,
- (32) C<sub>1-6</sub> alkylsulfonylamino,

- (33) aryl C<sub>0-6</sub>alkylsulfonylamino,
  - (34) C<sub>1-6</sub> alkoxy-carbonylamino,
  - (35) aryl C<sub>0-6</sub> alkoxy-carbonylamino,
  - (36) C<sub>1-6</sub>alkylaminocarbonylamino,
  - (37) aryl C<sub>0-6</sub>alkylaminocarbonylamino,
  - (38) (C<sub>1-6</sub>alkyl)<sub>2</sub> aminocarbonylamino,
  - (39) (aryl C<sub>0-6</sub>alkyl)<sub>2</sub> aminocarbonylamino,
  - (40) (C<sub>1-6</sub>alkyl)<sub>2</sub> aminocarbonyloxy,
  - (41) (aryl C<sub>0-6</sub>alkyl)<sub>2</sub> aminocarbonyloxy, and
  - (42) spiro-C<sub>3-8</sub>cycloalkyl;
- (F) aryl C<sub>2-8</sub> alkenyl, wherein aryl is unsubstituted or substituted with one to three substituents independently selected from:
- (1) halogen,
  - (2) C<sub>1-8</sub> alkyl,
  - (3) C<sub>3-8</sub> cycloalkyl,
  - (4) aryl,
  - (5) aryl C<sub>1-3</sub> alkyl-,
  - (6) amino,
  - (7) amino C<sub>1-6</sub> alkyl-,
  - (8) C<sub>1-3</sub> acylamino,
  - (9) C<sub>1-3</sub> acylamino C<sub>1-6</sub> alkyl,
  - (10) C<sub>1-6</sub> alkylamino,
  - (11) C<sub>1-6</sub> alkylamino C<sub>1-6</sub> alkyl,
  - (12) di(C<sub>1-6</sub>) alkylamino,
  - (13) di(C<sub>1-6</sub>) alkylamino-C<sub>1-6</sub> alkyl,
  - (14) C<sub>1-4</sub> alkoxy,
  - (15) C<sub>1-4</sub> alkylthio,
  - (16) C<sub>1-4</sub> alkylsulfinyl,
  - (17) C<sub>1-4</sub> alkylsulfonyl,
  - (18) C<sub>1-4</sub> alkoxy C<sub>1-6</sub> alkyl,
  - (19) hydroxycarbonyl,
  - (20) hydroxycarbonyl C<sub>1-6</sub> alkyl,
  - (21) C<sub>1-5</sub> alkoxy-carbonyl,
  - (22) C<sub>1-3</sub> alkoxy-carbonyl C<sub>1-6</sub> alkyl,



- (23) hydroxycarbonyl C<sub>1-6</sub> alkyloxy,
  - (24) hydroxy,
  - (25) hydroxy C<sub>1-6</sub> alkyl,
  - (26) cyano,
  - (27) nitro,
  - (28) trifluoromethyl,
  - (29) trifluoromethoxy, and
  - (30) C<sub>1-5</sub> alkylcarbonyloxy;
- (G) C<sub>3-8</sub> cycloalkyl, either unsubstituted or substituted with one to 3 substituents selected from:
- (1) halogen,
  - (2) aryl,
  - (3) C<sub>1-8</sub> alkyl,
  - (4) C<sub>3-8</sub> cycloalkyl,
  - (5) C<sub>3-8</sub> cycloheteroalkyl,
  - (6) aryl C<sub>1-6</sub>alkyl,
  - (7) amino C<sub>0-6</sub>alkyl,
  - (8) C<sub>1-6</sub> alkylamino C<sub>0-6</sub>alkyl,
  - (9) (C<sub>1-6</sub> alkyl)<sub>2</sub>amino C<sub>0-6</sub>alkyl,
  - (10) aryl C<sub>0-6</sub> alkylamino C<sub>0-6</sub>alkyl,
  - (11) (aryl C<sub>0-6</sub> alkyl)<sub>2</sub>amino C<sub>0-6</sub>alkyl,
  - (12) C<sub>1-6</sub> alkylthio,
  - (13) aryl C<sub>0-6</sub>alkylthio,
  - (14) C<sub>1-6</sub> alkylsulfinyl,
  - (15) aryl C<sub>0-6</sub>alkylsulfinyl,
  - (16) C<sub>1-6</sub> alkylsulfonyl,
  - (17) aryl C<sub>0-6</sub>alkylsulfonyl,
  - (18) C<sub>1-6</sub> alkoxy C<sub>0-6</sub>alkyl,
  - (19) aryl C<sub>0-6</sub> alkoxy C<sub>0-6</sub>alkyl,
  - (20) hydroxycarbonyl C<sub>0-6</sub>alkyl,
  - (21) C<sub>1-6</sub> alkoxycarbonyl C<sub>0-6</sub>alkyl,
  - (22) aryl C<sub>0-6</sub> alkoxycarbonyl C<sub>0-6</sub>alkyl,
  - (23) hydroxycarbonyl C<sub>1-6</sub> alkyloxy,
  - (24) hydroxy C<sub>0-6</sub>alkyl,

- (25) cyano,
  - (26) nitro,
  - (27) perfluoroC<sub>1-4</sub>alkyl,
  - (28) perfluoroC<sub>1-4</sub>alkoxy,
  - (29) oxo,
  - (30) C<sub>1-6</sub> alkylcarbonyloxy,
  - (31) aryl C<sub>0-6</sub>alkylcarbonyloxy,
  - (32) alkyl C<sub>1-6</sub> carbonylamino,
  - (33) aryl C<sub>0-6</sub> alkylcarbonylamino,
  - (34) C<sub>1-6</sub> alkylsulfonylamino,
  - (35) aryl C<sub>0-6</sub>alkylsulfonylamino,
  - (36) C<sub>1-6</sub> alkoxycarbonylamino,
  - (37) aryl C<sub>0-6</sub> alkoxycarbonylamino,
  - (38) C<sub>1-6</sub>alkylaminocarbonylamino,
  - (39) aryl C<sub>0-6</sub>alkylaminocarbonylamino,
  - (40) (C<sub>1-6</sub>alkyl)<sub>2</sub> aminocarbonylamino,
  - (41) (aryl C<sub>0-6</sub>alkyl)<sub>2</sub> aminocarbonylamino,
  - (42) (C<sub>1-6</sub>alkyl)<sub>2</sub> aminocarbonyloxy,
  - (43) (aryl C<sub>0-6</sub>alkyl)<sub>2</sub> aminocarbonyloxy,
  - (44) C<sub>0-6</sub> alkylcarbonly C<sub>0-6</sub> alky, and
  - (45) spiro-C<sub>3-8</sub>cycloalkyl;
- (H) cycloheteroalkyl, unsubstituted or substituted with one to three substituents selected from:
- (1) halogen,
  - (2) aryl,
  - (3) C<sub>1-8</sub> alkyl,
  - (4) C<sub>3-8</sub> cycloalkyl,
  - (5) C<sub>3-8</sub> cycloheteroalkyl,
  - (6) aryl C<sub>1-6</sub>alkyl,
  - (7) amino C<sub>0-6</sub>alkyl,
  - (8) C<sub>1-6</sub> alkylamino C<sub>0-6</sub>alkyl,
  - (9) (C<sub>1-6</sub> alkyl)<sub>2</sub>amino C<sub>0-6</sub>alkyl,
  - (10) aryl C<sub>0-6</sub> alkylamino C<sub>0-6</sub>alkyl,
  - (11) (aryl C<sub>0-6</sub> alkyl)<sub>2</sub>amino C<sub>0-6</sub>alkyl,

- (12) C<sub>1-6</sub> alkylthio,
- (13) aryl C<sub>0-6</sub>alkylthio,
- (14) C<sub>1-6</sub> alkylsulfinyl,
- (15) aryl C<sub>0-6</sub>alkylsulfinyl,
- (16) C<sub>1-6</sub> alkylsulfonyl,
- (17) aryl C<sub>0-6</sub>alkylsulfonyl,
- (18) C<sub>1-6</sub> alkoxy C<sub>0-6</sub>alkyl,
- (19) aryl C<sub>0-6</sub> alkoxy C<sub>0-6</sub>alkyl,
- (20) hydroxycarbonyl C<sub>0-6</sub>alkyl,
- (21) C<sub>1-6</sub> alkoxycarbonyl C<sub>0-6</sub>alkyl,
- (22) aryl C<sub>0-6</sub> alkoxycarbonyl C<sub>0-6</sub>alkyl,
- (23) hydroxycarbonyl C<sub>1-6</sub> alkyloxy,
- (24) hydroxy C<sub>0-6</sub>alkyl,
- (25) cyano,
- (26) nitro,
- (27) perfluoroC<sub>1-4</sub>alkyl,
- (28) perfluoroC<sub>1-4</sub>alkoxy,
- (29) oxo,
- (30) C<sub>1-6</sub> alkylcarbonyloxy,
- (31) aryl C<sub>0-6</sub>alkylcarbonyloxy,
- (32) alkyl C<sub>1-6</sub> carbonylamino,
- (33) aryl C<sub>0-6</sub> alkylcarbonylamino,
- (34) C<sub>1-6</sub> alkylsulfonylamino,
- (35) aryl C<sub>0-6</sub>alkylsulfonylamino,
- (36) C<sub>1-6</sub> alkoxycarbonylamino,
- (37) aryl C<sub>0-6</sub> alkoxycarbonylamino,
- (38) C<sub>1-6</sub>alkylaminocarbonylamino,
- (39) aryl C<sub>0-6</sub>alkylaminocarbonylamino,
- (40) (C<sub>1-6</sub>alkyl)<sub>2</sub> aminocarbonylamino,
- (41) (aryl C<sub>0-6</sub>alkyl)<sub>2</sub> aminocarbonylamino,
- (42) (C<sub>1-6</sub>alkyl)<sub>2</sub> aminocarbonyloxy,
- (43) (aryl C<sub>0-6</sub>alkyl)<sub>2</sub> aminocarbonyloxy, and
- (44) spiro-C<sub>3-8</sub>cycloalkyl;

provided that any heteroatom substituent is bonded to a carbon atom in the cycloheteroalkyl ring;

R<sup>3</sup> is selected from H, perfluoro C<sub>1-8</sub> alkyl, and C<sub>1-8</sub> alkyl, unsubstituted or substituted with one to three halogen atoms, or R<sup>2</sup> and R<sup>3</sup>, together with the nitrogen atom, and the "X" moiety to which they are attached, form a 5- to 7-membered heterocyclic ring, optionally containing one or two additional heteroatoms selected from N, S, and O, optionally having one or more degrees of unsaturation, optionally fused to a 6-membered heteroaromatic or aromatic ring, either unsubstituted or substituted with one to three substituents selected from:

- (1) halogen,
- (2) aryl,
- (3) C<sub>1-8</sub> alkyl,
- (4) C<sub>3-8</sub> cycloalkyl,
- (5) C<sub>3-8</sub> cycloheteroalkyl,
- (6) aryl C<sub>1-6</sub>alkyl,
- (7) amino C<sub>0-6</sub>alkyl,
- (8) C<sub>1-6</sub> alkylamino C<sub>0-6</sub>alkyl,
- (9) (C<sub>1-6</sub> alkyl)<sub>2</sub>amino C<sub>0-6</sub>alkyl,
- (10) aryl C<sub>0-6</sub> alkylamino C<sub>0-6</sub>alkyl,
- (11) (aryl C<sub>0-6</sub> alkyl)<sub>2</sub>amino C<sub>0-6</sub>alkyl,
- (12) C<sub>1-6</sub> alkylthio,
- (13) aryl C<sub>0-6</sub>alkylthio,
- (14) C<sub>1-6</sub> alkylsulfinyl,
- (15) aryl C<sub>0-6</sub>alkylsulfinyl,
- (16) C<sub>1-6</sub> alkylsulfonyl,
- (17) aryl C<sub>0-6</sub>alkylsulfonyl,
- (18) C<sub>1-6</sub> alkoxy C<sub>0-6</sub>alkyl,
- (19) aryl C<sub>0-6</sub> alkoxy C<sub>0-6</sub>alkyl,
- (20) hydroxycarbonyl C<sub>0-6</sub>alkyl,
- (21) C<sub>1-6</sub> alkoxycarbonyl C<sub>0-6</sub>alkyl,
- (22) aryl C<sub>0-6</sub> alkoxycarbonyl C<sub>0-6</sub>alkyl,
- (23) hydroxycarbonyl C<sub>1-6</sub> alkyloxy,
- (24) hydroxy C<sub>0-6</sub>alkyl,
- (25) cyano,
- (26) nitro,

- (27) perfluoroC<sub>1-4</sub>alkyl,
- (28) perfluoroC<sub>1-4</sub>alkoxy,
- (29) oxo,
- (30) C<sub>1-6</sub> alkylcarbonyloxy,
- (31) aryl C<sub>0-6</sub>alkylcarbonyloxy,
- (32) C<sub>1-6</sub> alkyl carbonylamino,
- (33) aryl C<sub>0-6</sub> alkylcarbonylamino,
- (34) C<sub>1-6</sub> alkylsulfonylamino,
- (35) aryl C<sub>0-6</sub>alkylsulfonylamino,
- (36) C<sub>1-6</sub> alkoxy carbonylamino,
- (37) aryl C<sub>0-6</sub> alkoxy carbonylamino,
- (38) C<sub>1-6</sub>alkylaminocarbonylamino,
- (39) aryl C<sub>0-6</sub>alkylaminocarbonylamino,
- (40) (C<sub>1-6</sub>alkyl)<sub>2</sub> aminocarbonylamino,
- (41) (aryl C<sub>0-6</sub>alkyl)<sub>2</sub> aminocarbonylamino,
- (42) (C<sub>1-6</sub>alkyl)<sub>2</sub> aminocarbonyloxy,
- (43) (aryl C<sub>0-6</sub>alkyl)<sub>2</sub> aminocarbonyloxy, and
- (44) spiro-C<sub>3-8</sub>cycloalkyl,

provided that any heteroatom substituent is bonded to a carbon atom in the heterocyclic ring;

R<sup>4</sup> and R<sup>5</sup> are each independently selected from

- (1) hydrogen,
- (2) halogen,
- (3) aryl,
- (4) C<sub>1-8</sub> alkyl,
- (5) C<sub>3-8</sub> cycloalkyl,
- (6) C<sub>3-8</sub> cycloheteroalkyl,
- (7) aryl C<sub>1-6</sub>alkyl,
- (8) amino C<sub>0-6</sub>alkyl,
- (9) C<sub>1-6</sub> alkylamino C<sub>0-6</sub>alkyl,
- (10) (C<sub>1-6</sub> alkyl)<sub>2</sub>amino C<sub>0-6</sub>alkyl,
- (11) aryl C<sub>0-6</sub> alkylamino C<sub>0-6</sub>alkyl,
- (12) (aryl C<sub>0-6</sub> alkyl)<sub>2</sub>amino C<sub>0-6</sub>alkyl,
- (13) C<sub>1-6</sub> alkylthio,

- (14) aryl C<sub>0-6</sub>alkylthio,
- (15) C<sub>1-6</sub> alkylsulfinyl,
- (16) aryl C<sub>0-6</sub>alkylsulfinyl,
- (17) C<sub>1-6</sub> alkylsulfonyl,
- (18) aryl C<sub>0-6</sub>alkylsulfonyl,
- (19) C<sub>1-6</sub> alkoxy C<sub>0-6</sub>alkyl,
- (20) aryl C<sub>0-6</sub> alkoxy C<sub>0-6</sub>alkyl,
- (21) hydroxycarbonyl C<sub>0-6</sub>alkyl,
- (22) C<sub>1-6</sub> alkoxycarbonyl C<sub>0-6</sub>alkyl,
- (23) aryl C<sub>0-6</sub> alkoxycarbonyl C<sub>0-6</sub>alkyl,
- (24) hydroxycarbonyl C<sub>1-6</sub> alkyloxy,
- (25) hydroxy C<sub>0-6</sub>alkyl,
- (26) cyano,
- (27) nitro,
- (28) perfluoroC<sub>1-4</sub>alkyl,
- (29) perfluoroC<sub>1-4</sub>alkoxy,
- (30) C<sub>1-6</sub> alkylcarbonyloxy,
- (31) aryl C<sub>0-6</sub>alkylcarbonyloxy,
- (32) C<sub>1-6</sub> alkylcarbonylamino,
- (33) aryl C<sub>0-6</sub> alkylcarbonylamino,
- (34) C<sub>1-6</sub> alkylsulfonylamino,
- (35) aryl C<sub>0-6</sub>alkylsulfonylamino,
- (36) C<sub>1-6</sub> alkoxycarbonylamino,
- (37) aryl C<sub>0-6</sub> alkoxycarbonylamino,
- (38) C<sub>1-6</sub>alkylaminocarbonylamino,
- (39) aryl C<sub>0-6</sub>alkylaminocarbonylamino,
- (40) (C<sub>1-6</sub>alkyl)<sub>2</sub> aminocarbonylamino,
- (41) (aryl C<sub>0-6</sub>alkyl)<sub>2</sub> aminocarbonylamino,
- (42) (C<sub>1-6</sub>alkyl)<sub>2</sub> aminocarbonyloxy,
- (44) (aryl C<sub>0-6</sub>alkyl)<sub>2</sub> aminocarbonyloxy, and
- (45) spiro-C<sub>3-8</sub>cycloalkyl;

or, R<sup>4</sup> and R<sup>5</sup> together form an oxo group or =CH-R<sup>6</sup> or a spiro C 3-7 cycloalkyl ring substituted with R<sup>6</sup>;

R<sup>6</sup> is selected from hydrogen and C<sub>1-4</sub> alkyl;

R<sup>7</sup> is selected from hydrogen, perfluoro C<sub>1-8</sub> alkyl, and C<sub>1-8</sub> alkyl, unsubstituted or substituted with one to three halogen atoms.

n is selected from: 0, 1, and 2;

and pharmaceutically acceptable salts thereof.

2. **(Original)** The method according to Claim 1, wherein:

“b” is a single bond, and “a” is a double bond;

X is selected from:

- (A) -C(O)-,
- (B) -C(O)-O-,
- (C) -C(O)-N(R<sup>7</sup>)-, and
- (D) -S(O)<sub>n</sub>-;

R<sup>1</sup> is methyl;

R<sup>2</sup> is selected from:

(A) aryl, substituted by one substituents selected from:

- (1) fluoro,
- (2) chloro,
- (3) bromo,
- (4) methyl,
- (5) methoxy,
- (6) ethoxy,
- (7) hydroxy,
- (8) trifluoromethyl,
- (9) trifluoromethoxy, and
- (10) acetyl;

(B) C<sub>1-6</sub> alkyl, unsubstituted or substituted with one or two substituents independently selected from:

- (1) fluoro,
- (2) chloro,
- (3) cyano,
- (4) methoxy,
- (5) hydroxy, and
- (6) trifluoromethyl;

(C) trifluoromethyl;

- (D) phenyl-C<sub>1-6</sub> alkyl-, wherein phenyl is unsubstituted or substituted with one or two substituents independently selected from:
- (1) halogen,
  - (2) methyl,
  - (3) C<sub>1-2</sub> alkoxy,
  - (4) hydroxy,
  - (5) nitro,
  - (6) trifluoromethyl, and
  - (7) trifluoromethoxy;.
- (E) C<sub>2-3</sub> alkenyl;
- (F) phenyl C<sub>2</sub>alkenyl, wherein phenyl is unsubstituted or substituted with a substituent selected from:
- (1) halogen,
  - (2) methyl, and
  - (3) trifluoromethyl;
- (G) cycloheteroalkyl, either unsubstituted or substituted with one or two substituents selected from:
- (1) fluoro,
  - (2) phenyl,
  - (3) C<sub>1-4</sub> alkyl,
  - (4) C<sub>1-3</sub> alkoxy,
  - (5) hydroxy,
  - (6) trifluoromethyl,
  - (7) oxo, and
  - (8) spiro C<sub>3-8</sub> cycloalkyl;

provided that any heteroatom substituent is bonded to a carbon atom in the cycloheteroalkyl ring;

R<sup>3</sup> is hydrogen;

R<sup>4</sup> and R<sup>5</sup> are each hydrogen;

R<sup>6</sup> is hydrogen;

R<sup>7</sup> is hydrogen,

n is 2;

and pharmaceutically acceptable salts thereof.



3. **(Original)** The method according to Claim 1 wherein the androgen receptor is antagonized in the prostate of a male patient or in the uterus of a female patient and agonized in bone or muscle tissue.

4. **(Original)** The method according to Claim 1 wherein modulating the androgen receptor in a tissue selective manner comprises agonizing the androgen receptor.

5. **(Original)** A method of treating a condition which is caused by androgen deficiency or which can be ameliorated by androgen administration selected from: osteoporosis, osteopenia, glucocorticoid-induced osteoporosis, periodontal disease, HIV-wasting, cancer cachexia, bone fracture, bone damage following bone reconstructive surgery, muscular dystrophies, sarcopenia, frailty, aging skin, male hypogonadism, post-menopausal symptoms in women, female sexual dysfunction, premature ovarian failure, autoimmune disease, atherosclerosis, hypercholesterolemia, hyperlipidemia, aplastic anemia and other hematopoietic disorders, pancreatic cancer, renal cancer, arthritis and joint repair, in a patient in need of such treatment, comprising modulating the androgen receptor in said patient according to the method of Claim 1.

6. **(Original)** The method according to Claim 5 wherein the condition is osteoporosis.

7. **(Original)** The method according to Claim 6 wherein:  
“b” is a single bond, and “a” is a double bond;

X is selected from:

- (A) -C(O)-,
- (B) -C(O)-O-,
- (C) -C(O)-N(R<sup>7</sup>)-, and
- (D) -S(O)<sub>n</sub>-;

R<sup>1</sup> is methyl;

R<sup>2</sup> is selected from:

- (A) aryl, substituted by one substituents selected from:
  - (1) fluoro,
  - (2) chloro,
  - (3) bromo,
  - (4) methyl,
  - (5) methoxy,

- (6) ethoxy,
  - (7) hydroxy,
  - (8) trifluoromethyl,
  - (9) trifluoromethoxy, and
  - (10) acetyl;
- (B) C<sub>1-6</sub> alkyl, unsubstituted or substituted with one or two substituents independently selected from:
- (1) fluoro,
  - (2) chloro,
  - (3) cyano,
  - (4) methoxy,
  - (5) hydroxy, and
  - (6) trifluoromethyl;
- (C) trifluoromethyl;
- (D) phenyl-C<sub>1-6</sub> alkyl-, wherein phenyl is unsubstituted or substituted with one or two substituents independently selected from:
- (1) halogen,
  - (2) methyl,
  - (3) C<sub>1-2</sub> alkoxy,
  - (4) hydroxy,
  - (5) nitro,
  - (6) trifluoromethyl, and
  - (7) trifluoromethoxy;
- (E) C<sub>2-3</sub> alkenyl;
- (F) phenyl C<sub>2</sub>alkenyl, wherein phenyl is unsubstituted or substituted with a substituent selected from:
- (1) halogen,
  - (2) methyl, and
  - (3) trifluoromethyl;
- (G) cycloheteroalkyl, either unsubstituted or substituted with one or two substituents selected from:
- (1) fluoro,
  - (2) phenyl,
  - (3) C<sub>1-4</sub> alkyl,

- (4) C<sub>1-3</sub> alkoxy,
- (5) hydroxy,
- (6) trifluoromethyl,
- (7) oxo, and
- (8) spiro C<sub>3-8</sub> cycloalkyl;

provided that any heteroatom substituent is bonded to a carbon atom in the cycloheteroalkyl ring;

R<sup>3</sup> is hydrogen;

R<sup>4</sup> and R<sup>5</sup> are each hydrogen;

R<sup>6</sup> is hydrogen;

R<sup>7</sup> is hydrogen,

n is 2;

and pharmaceutically acceptable salts thereof.

8. **(Presently amended)** The method according to Claim 7 wherein the compound is selected from:

- (1) 4-methyl-17 $\beta$ -(2-trifluoromethylbenzamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (2) 4-methyl-17 $\beta$ -(3-trifluoromethylbenzamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (3) 4-methyl-17 $\beta$ -(2-methoxybenzamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (4) 4-methyl-17 $\beta$ -(3-methoxybenzamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (5) 4-methyl-17 $\beta$ -(4-methoxybenzamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (6) 4-methyl-17 $\beta$ -(4-cyanobenzamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (7) 4-methyl-17 $\beta$ -(2-chloro-pyrid-3-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (8) 4-methyl-17 $\beta$ -(pyrid-2-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (9) 4-methyl-17 $\beta$ -(pyrid-4-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (10) 4-methyl-17 $\beta$ -(4-(carboxymethyl)benzamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (11) 4-methyl-17 $\beta$ -(pyrid-3-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (12) 4-methyl-17 $\beta$ -(2-fluorobenzamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (13) 4-methyl-17 $\beta$ -(3-fluorobenzamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (14) 4-methyl-17 $\beta$ -(4-fluorobenzamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (15) 4-methyl-17 $\beta$ -(2,4-difluorobenzamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (16) 4-methyl-17 $\beta$ -(4-chlorobutyramido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (17) 4-methyl-17 $\beta$ -(4-bromobutyramido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (18) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]- 2-bromoethyl ester;
- (19) 4-methyl-17 $\beta$ -(2-methylpropamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;

- (20) 4-methyl-17 $\beta$ -(2-methoxyacetamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (21) 4-methyl-17 $\beta$ -(cyclopropamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (22) 4-methyl-17 $\beta$ -(acetamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (23) 4-methyl-17 $\beta$ -(trifluoroacetamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (24) 4-methyl-17 $\beta$ -(3,3,3-trifluoropropionamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (25) 4-methyl-17 $\beta$ -(2-cyanoacetamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (26) 4-methyl-17 $\beta$ -(2-methyl-2-hydroxypropamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (27) 4-methyl-17 $\beta$ -(thiazo-4-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (28) 4-methyl-17 $\beta$ -(pyrimid-2-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (29) 4-methyl-17 $\beta$ -(pyrimid-4-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (30) 4-methyl-17 $\beta$ -(oxazo-5-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (31) 4-methyl-17 $\beta$ -(1-methyl-imidazo-2-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (32) 4-methyl-17 $\beta$ -(furan-3-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (33) 4-methyl-17 $\beta$ -(furan-2-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (34) 4-methyl-17 $\beta$ -(thiophene-2-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (35) 4-methyl-17 $\beta$ -(thiophene-3-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (36) 4-methyl-17 $\beta$ -(pyridazin-2-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (37) 4-methyl-17 $\beta$ -(5-methyl-pyridin-2-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (38) 4-methyl-17 $\beta$ -(5-chloro-pyridin-2-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (39) 4-methyl-17 $\beta$ -(quinoline-2-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (40) 4-methyl-17 $\beta$ -(quinoline-8-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (41) 4-methyl-17 $\beta$ -(isoquinoline-8-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (42) 4-methyl-17 $\beta$ -(2-chlorobenzamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (43) 4-methyl-17 $\beta$ -(3-chlorobenzamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (44) 4-methyl-17 $\beta$ -(4-chlorobenzamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (45) 4-methyl-17 $\beta$ -(formamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (46) 4-methyl-17 $\beta$ -[(2-trifluoromethylphenyl)acetamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (47) 4-methyl-17 $\beta$ -[(4-trifluoromethylphenyl)acetamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (48) 4-methyl-17 $\beta$ -[(2-chlorophenyl)acetamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (49) 4-methyl-17 $\beta$ -[(3-chlorophenyl)acetamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (50) 4-methyl-17 $\beta$ -[(4-chlorophenyl)acetamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (51) 4-methyl-17 $\beta$ -[(2,4-dichlorophenyl)acetamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (52) 4-methyl-17 $\beta$ -[(3-fluorophenyl)acetamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (53) 4-methyl-17 $\beta$ -[(4-fluorophenyl)acetamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;

- (54) 4-methyl-17 $\beta$ -[(2-methoxyphenyl)acetamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (55) 4-methyl-17 $\beta$ -[(3-methoxyphenyl)acetamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (56) 4-methyl-17 $\beta$ -[(2,5-dimethoxyphenyl)acetamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (57) 4-methyl-17 $\beta$ -[(3,5-difluorophenyl)acetamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (58) 4-methyl-17 $\beta$ -[(3-nitrophenyl)acetamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (59) 4-methyl-17 $\beta$ -(tetrahydrofuran-2-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (60) 4-methyl-17 $\beta$ -(tetrahydrofuran-3-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (61) 4-methyl-17 $\beta$ -(4-ethyl-pyridin-2-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (62) 4-methyl-17 $\beta$ -(3-methyl-pyridin-2-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (63) 4-methyl-17 $\beta$ -(3-bromo-pyridin-2-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (64) 4-methyl-17 $\beta$ -(4-bromo-pyridin-2-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (65) 4-methyl-17 $\beta$ -[(2-phenylcyclopropyl)amido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (66) 4-methyl-17 $\beta$ -[(2-fluorophenyl)acetamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (67) 4-methyl-17 $\beta$ -[(pyrid-2-yl)acetamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (68) 4-methyl-17 $\beta$ -[(pyrid-3-yl)acetamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (69) 4-methyl-17 $\beta$ -[(4-methoxyphenyl)acetamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (70) 4-methyl-17 $\beta$ -[3-(2-fluorophenyl)propionamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (71) 4-methyl-17 $\beta$ -[3-(4-fluorophenyl)propionamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (72) 4-methyl-17 $\beta$ -[3-(4-rifluoromethylphenyl)propionamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (73) 4-methyl-17 $\beta$ -[3-(2-chlorophenyl)propionamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (74) 4-methyl-17 $\beta$ -[3-(3-chlorophenyl)propionamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (75) 4-methyl-17 $\beta$ -[3-(4-chlorophenyl)propionamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (76) 4-methyl-17 $\beta$ -[2-trifluoromethylcinnamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (77) 4-methyl-17 $\beta$ -[2-chlorocinnamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (78) 4-methyl-17 $\beta$ -[2-fluorocinnamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (79) 4-methyl-17 $\beta$ -[4-(2,5-dichlorophenyl)butanamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (80) 4-methyl-17 $\beta$ -[4-(2-nitrophenyl)butanamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (81) 4-methyl-17 $\beta$ -[4-(3,4-dimethoxyphenyl)butanamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (82) 4-methyl-17 $\beta$ -[propionamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (83) 4-methyl-17 $\beta$ -[butyramido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (84) 4-methyl-17 $\beta$ -[(2-methyl)cyclopropamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (85) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-phenyl ester;
- (86) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-4-chlorophenyl ester;
- (87) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-4-nitrophenyl ester;

- (88) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-4-methylphenyl ester;
- (89) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-4-bromophenyl ester;
- (90) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-4-fluorophenyl ester;
- (91) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-4-methoxyphenyl ester;
- (92) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-2-nitrophenyl ester;
- (93) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-3-naphthyl ester;
- (94) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-3-trifluoromethylphenyl ester;
- (95) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-ethyl ester;
- (96) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-benzyl ester;
- (97) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-2,2,2-trifluoroethyl ester;
- (98) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-2-methoxyethyl ester;
- (99) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-(2,2-dimethylpropyl) ester;
- (100) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-2-fluoroethyl ester;
- (101) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-allyl ester;
- (102) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-methyl ester;
- (103) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-1-propynoic ester;
- (104) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-(2-methyl-2-butyl) ester;
- (105) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-2-(trifluoromethyl)phenyl ester;
- (106) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-4-(trifluoromethyl)phenyl ester;
- (107) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-2-fluorophenyl ester;
- (108) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-3-fluorophenyl ester;
- (109) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-(2-hydroxy-1-ethyl) ester;
- (110) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-2-methoxyphenyl ester;
- (111) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-3-methoxyphenyl ester;
- (112) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-2-ethoxyphenyl ester;
- (113) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-3-ethoxyphenyl ester;
- (114) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-4-ethoxyphenyl ester;

- (115) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-4-chlorophenyl ester;
- (116) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-3-chlorophenyl ester;
- (117) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-3-(trifluoromethoxy)phenyl ester;
- (118) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-4-(trifluoromethoxy)phenyl ester;
- (119) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-2-propyl ester;
- (120) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-1-propyl ester;
- (121) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-1-butyl ester;
- (122) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-1-hexyl ester;
- (123) 4-methyl-17 $\beta$ -(phenylsulfonamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (124) 4-methyl-17 $\beta$ -(2-trifluoromethylphenylsulfonamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (125) 4-methyl-17 $\beta$ -(3-trifluoromethylphenylsulfonamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (126) 4-methyl-17 $\beta$ -(2-chlorophenylsulfonamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (127) 4-methyl-17 $\beta$ -(3-chlorophenylsulfonamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (128) 4-methyl-17 $\beta$ -(2-trifluoromethoxyphenylsulfonamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (129) 4-methyl-17 $\beta$ -(2-cyanophenylsulfonamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (130) 4-methyl-17 $\beta$ -(4-methoxyphenylsulfonamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (131) 4-methyl-17 $\beta$ -(3-bromo-5-methoxyphenylsulfonamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (132) 4-methyl-17 $\beta$ -(8-quinolylsulfonamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (133) 4-methyl-17 $\beta$ -(3-cyanophenylsulfonamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (134) 4-methyl-17 $\beta$ -(4-chlorophenylsulfonamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (135) 4-methyl-17 $\beta$ -[(2-methylsulfonyl)phenyl]sulfonamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (136) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-phenyl urea;
- (137) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-(2-trifluoromethyl)phenyl urea;
- (138) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-(3-trifluoromethyl)phenyl urea;
- (139) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-3-chlorophenyl urea;
- (140) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-(4-chloro-2-trifluoromethylphenyl) urea;
- (141) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-3-acetylphenyl urea;
- (142) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-(5-chloro-2-trifluoromethylphenyl) urea;
- (143) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-(2,4-[bistrifluoromethyl]phenyl) urea;

- (144) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-(3,4-difluorophenyl) urea;  
(145) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-(2,3-dichlorophenyl) urea;  
(146) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-(2,4-dichlorophenyl) urea;  
(147) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-(3,4-dichlorophenyl) urea;  
(148) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-2-chlorophenyl) urea;  
(149) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-(2-chloro-5-trifluoromethylphenyl) urea;  
(150) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-(4-chloro-3-trifluoromethylphenyl) urea;  
(151) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-(4-trifluoromethyl)phenyl urea;  
(152) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-(2,3-dimethylphenyl) urea;  
(153) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-methyl urea;  
(154) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-ethyl urea;  
(155) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-dimethyl urea;  
(156) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-diethyl urea;  
(157) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl] urea;

- (147) — N [(5I,17 $\theta$ ) 4 methyl 3 oxo 4 azaandrost 1 en 17 yl] N' (3,4 dichlorophenyl) urea;  
(148) — N [(5I,17 $\theta$ ) 4 methyl 3 oxo 4 azaandrost 1 en 17 yl] N' 2 chlorophenyl) urea;  
(149) — N [(5I,17 $\theta$ ) 4 methyl 3 oxo 4 azaandrost 1 en 17 yl] N' (2 chloro 5 trifluoromethylphenyl) urea;  
(150) — N [(5I,17 $\theta$ ) 4 methyl 3 oxo 4 azaandrost 1 en 17 yl] N' (4 chloro 3 trifluoromethylphenyl) urea;  
(151) — N [(5I,17 $\theta$ ) 4 methyl 3 oxo 4 azaandrost 1 en 17 yl] N' (4 trifluoromethyl)phenyl urea;  
(152) — N [(5I,17 $\theta$ ) 4 methyl 3 oxo 4 azaandrost 1 en 17 yl] N' (2,3 dimethylpheny) urea;  
(153) — N [(5I,17 $\theta$ ) 4 methyl 3 oxo 4 azaandrost 1 en 17 yl] N' methyl urea;  
(154) — N [(5I,17 $\theta$ ) 4 methyl 3 oxo 4 azaandrost 1 en 17 yl] N' ethyl urea;  
(155) — N [(5I,17 $\theta$ ) 4 methyl 3 oxo 4 azaandrost 1 en 17 yl] N' dimethyl urea;  
(156) — N [(5I,17 $\theta$ ) 4 methyl 3 oxo 4 azaandrost 1 en 17 yl] N' diethyl urea;  
(157) N [(5I,17 $\theta$ ) 4 methyl 3 oxo 4 azaandrost 1 en 17 yl] urea;



and pharmaceutically acceptable salts thereof.

9. **(Presently amended)** The method according to Claim 1 wherein the compound is selected from:

- (1) 4-methyl-17 $\beta$ -(2-trifluoromethylbenzamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (2) 4-methyl-17 $\beta$ -(3-trifluoromethylbenzamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (3) 4-methyl-17 $\beta$ -(2-methoxybenzamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (4) 4-methyl-17 $\beta$ -(3-methoxybenzamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (5) 4-methyl-17 $\beta$ -(4-methoxybenzamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (6) 4-methyl-17 $\beta$ -(4-cyanobenzamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (7) 4-methyl-17 $\beta$ -(2-chloro-pyrid-3-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (8) 4-methyl-17 $\beta$ -(pyrid-2-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (9) 4-methyl-17 $\beta$ -(pyrid-4-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (10) 4-methyl-17 $\beta$ -(4-(carboxymethyl)benzamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (11) 4-methyl-17 $\beta$ -(pyrid-3-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (12) 4-methyl-17 $\beta$ -(2-fluorobenzamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (13) 4-methyl-17 $\beta$ -(3-fluorobenzamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (14) 4-methyl-17 $\beta$ -(4-fluorobenzamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (15) 4-methyl-17 $\beta$ -(2,4-difluorobenzamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (16) 4-methyl-17 $\beta$ -(4-chlorobutyramido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (17) 4-methyl-17 $\beta$ -(4-bromobutyramido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (18) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]- 2-bromoethyl ester;
- (19) 4-methyl-17 $\beta$ -(2-methylpropamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (20) 4-methyl-17 $\beta$ -(2-methoxyacetamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (21) 4-methyl-17 $\beta$ -(cyclopropamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (22) 4-methyl-17 $\beta$ -(acetamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (23) 4-methyl-17 $\beta$ -(trifluoroacetamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (24) 4-methyl-17 $\beta$ -(3,3,3-trifluoropropionamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (25) 4-methyl-17 $\beta$ -(2-cyanoacetamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (26) 4-methyl-17 $\beta$ -(2-methyl-2-hydroxypropamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (27) 4-methyl-17 $\beta$ -(thiazo-4-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (28) 4-methyl-17 $\beta$ -(pyrimid-2-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (29) 4-methyl-17 $\beta$ -(pyrimid-4-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (30) 4-methyl-17 $\beta$ -(oxazo-5-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;

- (31) 4-methyl-17 $\beta$ -(1-methyl-imidazo-2-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (32) 4-methyl-17 $\beta$ -(furan-3-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (33) 4-methyl-17 $\beta$ -(furan-2-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (34) 4-methyl-17 $\beta$ -(thiophene-2-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (35) 4-methyl-17 $\beta$ -(thiophene-3-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (36) 4-methyl-17 $\beta$ -(pyridazin-2-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (37) 4-methyl-17 $\beta$ -(5-methyl-pyridin-2-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (38) 4-methyl-17 $\beta$ -(5-chloro-pyridin-2-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (39) 4-methyl-17 $\beta$ -(quinoline-2-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (40) 4-methyl-17 $\beta$ -(quinoline-8-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (41) 4-methyl-17 $\beta$ -(isoquinoline-8-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (42) 4-methyl-17 $\beta$ -(2-chlorobenzamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (43) 4-methyl-17 $\beta$ -(3-chlorobenzamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (44) 4-methyl-17 $\beta$ -(4-chlorobenzamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (45) 4-methyl-17 $\beta$ -(formamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (46) 4-methyl-17 $\beta$ -[(2-trifluoromethylphenyl)acetamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (47) 4-methyl-17 $\beta$ -[(4-trifluoromethylphenyl)acetamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (48) 4-methyl-17 $\beta$ -[(2-chlorophenyl)acetamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (49) 4-methyl-17 $\beta$ -[(3-chlorophenyl)acetamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (50) 4-methyl-17 $\beta$ -[(4-chlorophenyl)acetamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (51) 4-methyl-17 $\beta$ -[(2,4-dichlorophenyl)acetamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (52) 4-methyl-17 $\beta$ -[(3-fluorophenyl)acetamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (53) 4-methyl-17 $\beta$ -[(4-fluorophenyl)acetamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (54) 4-methyl-17 $\beta$ -[(2-methoxyphenyl)acetamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (55) 4-methyl-17 $\beta$ -[(3-methoxyphenyl)acetamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (56) 4-methyl-17 $\beta$ -[(2,5-dimethoxyphenyl)acetamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (57) 4-methyl-17 $\beta$ -[(3,5-difluorophenyl)acetamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (58) 4-methyl-17 $\beta$ -[(3-nitrophenyl)acetamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (59) 4-methyl-17 $\beta$ -(tetrahydrofuran-2-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (60) 4-methyl-17 $\beta$ -(tetrahydrofuran-3-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (61) 4-methyl-17 $\beta$ -(4-ethyl-pyridin-2-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (62) 4-methyl-17 $\beta$ -(3-methyl-pyridin-2-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (63) 4-methyl-17 $\beta$ -(3-bromo-pyridin-2-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (64) 4-methyl-17 $\beta$ -(4-bromo-pyridin-2-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;

- (65) 4-methyl-17 $\beta$ -[(2-phenylcyclopropyl)amido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (66) 4-methyl-17 $\beta$ -[(2-fluorophenyl)acetamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (67) 4-methyl-17 $\beta$ -[(pyrid-2-yl)acetamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (68) 4-methyl-17 $\beta$ -[(pyrid-3-yl)acetamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (69) 4-methyl-17 $\beta$ -[(4-methoxyphenyl)acetamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (70) 4-methyl-17 $\beta$ -[3-(2-fluorophenyl)propionamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (71) 4-methyl-17 $\beta$ -[3-(4-fluorophenyl)propionamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (72) 4-methyl-17 $\beta$ -[3-(4-trifluoromethylphenyl)propionamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (73) 4-methyl-17 $\beta$ -[3-(2-chlorophenyl)propionamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (74) 4-methyl-17 $\beta$ -[3-(3-chlorophenyl)propionamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (75) 4-methyl-17 $\beta$ -[3-(4-chlorophenyl)propionamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (76) 4-methyl-17 $\beta$ -[2-trifluoromethylcinnamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (77) 4-methyl-17 $\beta$ -[2-chlorocinnamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (78) 4-methyl-17 $\beta$ -[2-fluorocinnamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (79) 4-methyl-17 $\beta$ -[4-(2,5-dichlorophenyl)butanamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (80) 4-methyl-17 $\beta$ -[4-(2-nitrophenyl)butanamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (81) 4-methyl-17 $\beta$ -[4-(3,4-dimethoxyphenyl)butanamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (82) 4-methyl-17 $\beta$ -[propionamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (83) 4-methyl-17 $\beta$ -[butyramido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (84) 4-methyl-17 $\beta$ -[(2-methyl)cyclopropamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (85) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-phenyl ester;
- (86) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-4-chlorophenyl ester;
- (87) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-4-nitrophenyl ester;
- (88) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-4-methylphenyl ester;
- (89) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-4-bromophenyl ester;
- (90) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-4-fluorophenyl ester;
- (91) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-4-methoxyphenyl ester;
- (92) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-2-nitrophenyl ester;
- (93) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-3-naphthyl ester;
- (94) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-3-trifluoromethylphenyl ester;
- (95) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-ethyl ester;
- (96) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-benzyl ester;

- (97) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-2,2,2-trifluoroethyl ester;
- (98) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-2-methoxyethyl ester;
- (99) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-(2,2-dimethylpropyl) ester;
- (100) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-2-fluoroethyl ester;
- (101) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-allyl ester;
- (102) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-methyl ester;
- (103) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-1-propynoic ester;
- (104) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-(2-methyl-2-butyl) ester;
- (105) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-2-(trifluoromethyl)phenyl ester;
- (106) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-4-(trifluoromethyl)phenyl ester;
- (107) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-2-fluorophenyl ester;
- (108) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-3-fluorophenyl ester;
- (109) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-(2-hydroxy-1-ethyl) ester;
- (110) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-2-methoxyphenyl ester;
- (111) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-3-methoxyphenyl ester;
- (112) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-2-ethoxyphenyl ester;
- (113) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-3-ethoxyphenyl ester;
- (114) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-4-ethoxyphenyl ester;
- (115) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-4-chlorophenyl ester;
- (116) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-3-chlorophenyl ester;
- (117) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-3-(trifluoromethoxy)phenyl ester;
- (118) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-4-(trifluoromethoxy)phenyl ester;
- (119) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-2-propyl ester;
- (120) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-1-propyl ester;
- (121) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-1-butyl ester;
- (122) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-1-hexyl ester;

- (123) 4-methyl-17 $\beta$ -(phenylsulfonamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;  
(124) 4-methyl-17 $\beta$ -(2-trifluoromethylphenylsulfonamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;  
(125) 4-methyl-17 $\beta$ -(3-trifluoromethylphenylsulfonamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;  
(126) 4-methyl-17 $\beta$ -(2-chlorophenylsulfonamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;  
(127) 4-methyl-17 $\beta$ -(3-chlorophenylsulfonamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;  
(128) 4-methyl-17 $\beta$ -(2-trifluoromethoxyphenylsulfonamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;  
(129) 4-methyl-17 $\beta$ -(2-cyanophenylsulfonamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;  
(130) 4-methyl-17 $\beta$ -(4-methoxyphenylsulfonamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;  
(131) 4-methyl-17 $\beta$ -(3-bromo-5--methoxyphenylsulfonamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;  
(132) 4-methyl-17 $\beta$ -(8-quinolylsulfonamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;  
(133) 4-methyl-17 $\beta$ -(3-cyanophenylsulfonamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;  
(134) 4-methyl-17 $\beta$ -(4-chlorophenylsulfonamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;  
(135) 4-methyl-17 $\beta$ -[(2-methylsulfonyl)phenyl]sulfonamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;  
(136) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'- phenyl urea;  
(137) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'- (2-trifluoromethyl)phenyl urea;  
(138) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'- (3-trifluoromethyl)phenyl urea;  
(139) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'- 3-chlorophenyl urea;  
(140) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'- (4-chloro-2-trifluoromethylphenyl) urea;  
(141) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'- 3-acetylphenyl urea;  
(142) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'- (5-chloro-2-trifluoromethylphenyl) urea;  
(143) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'- (2,4-[bistrifluoromethyl]phenyl) urea;  
(144) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'- (3,4-difluorophenyl) urea;  
(145) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'- (2,3-dichlorophenyl) urea;  
(146) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'- (2,4-dichlorophenyl) urea;  
  
(147) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'- (3,4-dichlorophenyl) urea;  
(148) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-2-chlorophenyl) urea;  
(149) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'- (2-chloro-5-trifluoromethylphenyl) urea;  
(150) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'- (4-chloro-3-trifluoromethylphenyl) urea;

- (151) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-(4-trifluoromethyl)phenyl urea;
- (152) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-(2,3-dimethylphenyl) urea;
- (153) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-methyl urea;
- (154) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-ethyl urea;
- (155) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-dimethyl urea;
- (156) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-diethyl urea;
- (157) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl] urea;
- (147) ~~N [(5I,17 $\theta$ )-4 methyl 3 oxo 4 azaandrost 1 en 17 yl] N' (3,4 dichlorophenyl) urea;~~
- (148) ~~N [(5I,17 $\theta$ )-4 methyl 3 oxo 4 azaandrost 1 en 17 yl] N' 2 chlorophenyl) urea;~~
- (149) ~~N [(5I,17 $\theta$ )-4 methyl 3 oxo 4 azaandrost 1 en 17 yl] N' (2 chloro 5-trifluoromethylphenyl) urea;~~
- (150) ~~N [(5I,17 $\theta$ )-4 methyl 3 oxo 4 azaandrost 1 en 17 yl] N' (4 chloro 3-trifluoromethylphenyl) urea;~~
- (151) ~~N [(5I,17 $\theta$ )-4 methyl 3 oxo 4 azaandrost 1 en 17 yl] N' (4-trifluoromethyl)phenyl urea;~~
- (152) ~~N [(5I,17 $\theta$ )-4 methyl 3 oxo 4 azaandrost 1 en 17 yl] N' (2,3 dimethylpheny) urea;~~
- (153) ~~N [(5I,17 $\theta$ )-4 methyl 3 oxo 4 azaandrost 1 en 17 yl] N' methyl urea;~~
- (154) ~~N [(5I,17 $\theta$ )-4 methyl 3 oxo 4 azaandrost 1 en 17 yl] N' ethyl urea;~~
- (155) ~~N [(5I,17 $\theta$ )-4 methyl 3 oxo 4 azaandrost 1 en 17 yl] N' dimethyl urea;~~
- (156) ~~N [(5I,17 $\theta$ )-4 methyl 3 oxo 4 azaandrost 1 en 17 yl] N' diethyl urea;~~
- (157) ~~N [(5I,17 $\theta$ )-4 methyl 3 oxo 4 azaandrost 1 en 17 yl] urea;~~

and a pharmaceutically acceptable salts thereof.

10. (Original) The method according to Claim 6, additionally comprising the administration of a bone-strengthening agent selected from:

- (a) estrogen or an estrogen derivative, alone or in combination with a progestin or progestin derivative,
- (b) a bisphosphonate,
- (c) an antiestrogen or a selective estrogen receptor modulator,
- (d) an osteoclast integrin inhibitor,
- (e) a cathepsin K inhibitor,

- (f) an HMG-CoA reductase inhibitor,
- (g) an osteoclast vacuolar ATPase inhibitor,
- (h) an antagonist of VEGF binding to osteoclast receptors,
- (i) a peroxisome proliferator-activated receptor  $\gamma$ ,
- (j) calcitonin,
- (k) a calcium receptor antagonist,
- (l) parathyroid hormone,
- (m) a growth hormone secretagogue,
- (n) human growth hormone,
- (o) insulin-like growth factor,
- (p) a P-38 protein kinase inhibitor,
- (q) bone morphogenic protein,
- (r) an inhibitor of BMP antagonism,
- (s) a prostaglandin derivative,
- (t) vitamin D or vitamin D derivative,
- (u) vitamin K or vitamin K derivative,
- (v) ipriflavone,
- (w) fluoride salts, and
- (x) dietary calcium supplement.

11. **(Original)** The method according to Claim 10, wherein:

- (a) the estrogen or estrogen derivative, alone or in combination with a progestin or progestin derivative is selected from: conjugated estrogen, equine estrogen, 17 $\beta$ -estradiol, estrone, 17 $\beta$ -ethynyl estradiol, alone or in combination with an agent selected from norethindrone and medroxyprogesterone acetate;
- (b) the bisphosphonate is selected from:
  - (1) 4-amino-1-hydroxybutylidene-1,1-bisphosphonic acid,
  - (2) N-methyl-4-amino-hydroxybutylidene-1,1-bisphosphonic acid,
  - (3) 4-(N,N-dimethylamino-1-hydroxybutylidene-1,1-bisphosphonic acid,
  - (4) 3-amino-1-hydroxypropylidene-1,1-bisphosphonic acid,
  - (5) 3-(N,N-dimethylamino)-1-hydroxypropylidene-1,1-bisphosphonic acid,
  - (6) 1-hydroxy-3-(N-methyl-N-pentylamino)propylidene-1,1-bisphosphonic acid,
  - (7) 1-hydroxy-2-(3-pyridyl)ethylidene-1,1-bisphosphonic acid,
  - (8) 4-(hydroxymethylene-1,1-bisphosphonic acid)piperidine,

- (9) (1-hydroxyethylidene)-bisphosphonate,
- (10) (dichloromethylene)-bisphosphonate,
- (11) [1-hydroxy-2-imidazopyridin-(1,2-a)-3-ylethylidene] bisphosphonate,
- (12) (6-amino-1-hydroxyhexylidene)bisphosphonate, and
- (13) [1-hydroxy-2-(1H-imidazole-1-yl)ethylidene]bisphosphonate;
- (c) the antiestrogen or selective estrogen receptor modulator is selected from: raloxifene, clomiphene, zuclomiphene, enclomiphene, nafoxidene, CI-680, CI-628, CN-55,945-27, Mer-25, U-11, 555A, U-100A tamoxifen, lasofoxifene, toremifene, azorxifene, EM-800, EM-652, TSE 424, droloxifene, idoxifene, and levormeloxifene;
- (d) the osteoclast integrin inhibitor is selected from an  $\alpha_v\beta_3$  inhibitor or mixed  $\alpha_v\beta_3$  and  $\alpha_v\beta_5$  inhibitor;
- (e) the HMG-CoA reductase inhibitor is selected from lovastatin, simvastatin, dihydroxy-open acid simvastatin, pravastatin, fluvastatin, atorvastatin, cerivastatin, rosuvastatin, pitavastatin, and nisvastatin;
- (f) calcitonin is salmon calcitonin administered as a nasal spray;
- (g) bone morphogenic protein is selected from BMP 2, BMP 3, BMP 5, BMP 6, BMP 7, TGF beta, and GDF5;
- (h) insulin-like growth factor is selected from IGF I and IGF II alone or in combination with IGF binding protein 3;
- (i) the prostaglandin derivative is selected from agonists of prostaglandin receptor EP1, EP2, EP4, FP, and IP;
- (j) the fibroblast growth factor is selected from aFGF and bFGF;
- (k) parathyroid hormone or parathyroid hormone analog is selected from parathyroid hormone subcutaneous injection, human PTH, 1-84, 1-34 and other partial sequences, native or with substitutions;
- (l) vitamin D or vitamin D derivative is selected from: natural vitamin D, 25-OH-vitamin D3,  $1\alpha,25(\text{OH})_2$  vitamin D3,  $1\alpha\text{-OH-vitamin D3}$ ,  $1\alpha\text{-OH-vitamin D2}$ , dihydrotachysterol, 26,27-F6- $1\alpha,25(\text{OH})_2$  vitamin D3, 19-nor- $1\alpha,25(\text{OH})_2$  vitamin D3, 22-oxacalcitriol, calcipotriol,  $1\alpha,25(\text{OH})_2$ -16-ene-23-yne-vitamin D3 (Ro 23-7553), EB1089, 20-epi- $1\alpha,25(\text{OH})_2$  vitamin D3, KH1060, ED71,  $1\alpha,24(\text{S})\text{-(OH)}_2$  vitamin D3, and  $1\alpha,24(\text{R})\text{-(OH)}_2$  vitamin D3;
- (m) the dietary calcium supplement is selected from calcium carbonate, calcium citrate, and natural calcium salts;

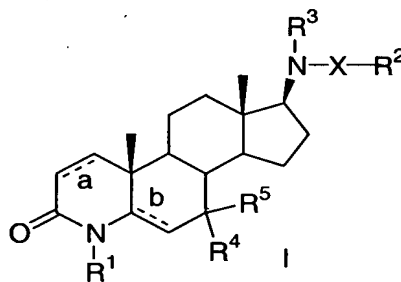


- (n) the fluoride salts are selected from: sodium fluoride and monosodium fluorophosphate (MFP);  
and pharmaceutically acceptable salts thereof.

12. (Original) The method according to Claim 11, additionally comprising the administration of 4-amino-1-hydroxybutylidene-1,1-bisphosphonic acid monosodium salt, trihydrate.

13. (Original) The method according to Claim 1, additionally comprising the administration of 4-amino-1-hydroxybutylidene-1,1-bisphosphonic acid monosodium salt, trihydrate.

14. (Original) A compound of structural formula I:



wherein:

"b" is a single bond, and "a" is a double bond;

X is selected from:

- (A) -C(O)-,
- (B) -C(O)-O-,
- (C) -C(O)-N(R<sup>7</sup>)-, and
- (D) -S(O)<sub>n</sub>-;

R<sup>1</sup> is methyl;

R<sup>2</sup> is selected from:

- (A) aryl, substituted by one substituents selected from:
  - (1) fluoro,
  - (2) chloro,

- (3) bromo,
  - (4) methyl,
  - (5) methoxy,
  - (6) ethoxy,
  - (7) hydroxy,
  - (8) trifluoromethyl,
  - (9) trifluoromethoxy, and
  - (10) acetyl;.
- (B) C<sub>1-6</sub> alkyl, unsubstituted or substituted with one or two substituents independently selected from:
- (1) fluoro,
  - (2) chloro,
  - (3) cyano,
  - (4) methoxy,
  - (5) hydroxy, and
  - (6) trifluoromethyl;
- (C) trifluoromethyl;
- (D) phenyl-C<sub>1-6</sub> alkyl-, wherein phenyl is unsubstituted or substituted with one or two substituents independently selected from:
- (1) halogen,
  - (2) methyl,
  - (3) C<sub>1-2</sub> alkoxy,
  - (4) hydroxy,
  - (5) nitro,
  - (6) trifluoromethyl, and
  - (7) trifluoromethoxy;.
- (E) C<sub>2-3</sub> alkenyl;
- (F) phenyl C<sub>2</sub>alkenyl, wherein phenyl is unsubstituted or substituted with a substituent selected from:
- (1) halogen,
  - (2) methyl, and
  - (3) trifluoromethyl.
- (G) cycloheteroalkyl, either unsubstituted or substituted with one or two substituents selected from:

- (1) fluoro,
- (2) phenyl,
- (3) C<sub>1-4</sub> alkyl,
- (4) C<sub>1-3</sub> alkoxy,
- (5) hydroxy,
- (6) trifluoromethyl,
- (7) oxo, and
- (8) spiro C<sub>3-8</sub> cycloalkyl;

provided that any heteroatom substituent is bonded to a carbon atom in the cycloheteroalkyl ring;

R<sup>3</sup> is hydrogen;

R<sup>4</sup> and R<sup>5</sup> are each hydrogen;

R<sup>6</sup> is hydrogen;

R<sup>7</sup> is hydrogen,

n is 2;

and pharmaceutically acceptable salts thereof.

**15. (Presently Amended)** A compound selected from:

- (1) 4-methyl-17 $\beta$ -(2-trifluoromethylbenzamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (2) 4-methyl-17 $\beta$ -(3-trifluoromethylbenzamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (3) 4-methyl-17 $\beta$ -(2-methoxybenzamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (4) 4-methyl-17 $\beta$ -(3-methoxybenzamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (5) 4-methyl-17 $\beta$ -(4-methoxybenzamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (6) 4-methyl-17 $\beta$ -(4-cyanobenzamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (7) 4-methyl-17 $\beta$ -(2-chloro-pyrid-3-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (8) 4-methyl-17 $\beta$ -(pyrid-2-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (9) 4-methyl-17 $\beta$ -(pyrid-4-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (10) 4-methyl-17 $\beta$ -(4-(carboxymethyl)benzamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (11) 4-methyl-17 $\beta$ -(pyrid-3-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (12) 4-methyl-17 $\beta$ -(2-fluorobenzamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (13) 4-methyl-17 $\beta$ -(3-fluorobenzamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (14) 4-methyl-17 $\beta$ -(4-fluorobenzamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (15) 4-methyl-17 $\beta$ -(2,4-difluorobenzamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (16) 4-methyl-17 $\beta$ -(4-chlorobutyramido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (17) 4-methyl-17 $\beta$ -(4-bromobutyramido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;

- (18) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]- 2-bromoethyl ester;
- (19) 4-methyl-17 $\beta$ -(2-methylpropamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (20) 4-methyl-17 $\beta$ -(2-methoxyacetamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (21) 4-methyl-17 $\beta$ -(cyclopropamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (22) 4-methyl-17 $\beta$ -(acetamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (23) 4-methyl-17 $\beta$ -(trifluoroacetamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (24) 4-methyl-17 $\beta$ -(3,3,3-trifluoropropionamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (25) 4-methyl-17 $\beta$ -(2-cyanoacetamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (26) 4-methyl-17 $\beta$ -(2-methyl-2-hydroxypropamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (27) 4-methyl-17 $\beta$ -(thiazo-4-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (28) 4-methyl-17 $\beta$ -(pyrimid-2-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (29) 4-methyl-17 $\beta$ -(pyrimid-4-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (30) 4-methyl-17 $\beta$ -(oxazo-5-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (31) 4-methyl-17 $\beta$ -(1-methyl-imidazo-2-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (32) 4-methyl-17 $\beta$ -(furan-3-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (33) 4-methyl-17 $\beta$ -(furan-2-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (34) 4-methyl-17 $\beta$ -(thiophene-2-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (35) 4-methyl-17 $\beta$ -(thiophene-3-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (36) 4-methyl-17 $\beta$ -(pyridazin-2-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (37) 4-methyl-17 $\beta$ -(5-methyl-pyridin-2-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (38) 4-methyl-17 $\beta$ -(5-chloro-pyridin-2-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (39) 4-methyl-17 $\beta$ -(quinoline-2-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (40) 4-methyl-17 $\beta$ -(quinoline-8-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (41) 4-methyl-17 $\beta$ -(isoquinoline-8-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (42) 4-methyl-17 $\beta$ -(2-chlorobenzamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (43) 4-methyl-17 $\beta$ -(3-chlorobenzamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (44) 4-methyl-17 $\beta$ -(4-chlorobenzamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (45) 4-methyl-17 $\beta$ -(formamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (46) 4-methyl-17 $\beta$ -[(2-trifluoromethylphenyl)acetamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (47) 4-methyl-17 $\beta$ -[(4-trifluoromethylphenyl)acetamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (48) 4-methyl-17 $\beta$ -[(2-chlorophenyl)acetamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (49) 4-methyl-17 $\beta$ -[(3-chlorophenyl)acetamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (50) 4-methyl-17 $\beta$ -[(4-chlorophenyl)acetamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (51) 4-methyl-17 $\beta$ -[(2,4-dichlorophenyl)acetamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;

- (52) 4-methyl-17 $\beta$ -[(3-fluorophenyl)acetamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (53) 4-methyl-17 $\beta$ -[(4-fluorophenyl)acetamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (54) 4-methyl-17 $\beta$ -[(2-methoxyphenyl)acetamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (55) 4-methyl-17 $\beta$ -[(3-methoxyphenyl)acetamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (56) 4-methyl-17 $\beta$ -[(2,5-dimethoxyphenyl)acetamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (57) 4-methyl-17 $\beta$ -[(3,5-difluorophenyl)acetamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (58) 4-methyl-17 $\beta$ -[(3-nitrophenyl)acetamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (59) 4-methyl-17 $\beta$ -(tetrahydrofuran-2-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (60) 4-methyl-17 $\beta$ -(tetrahydrofuran-3-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (61) 4-methyl-17 $\beta$ -(4-ethyl-pyridin-2-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (62) 4-methyl-17 $\beta$ -(3-methyl-pyridin-2-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (63) 4-methyl-17 $\beta$ -(3-bromo-pyridin-2-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (64) 4-methyl-17 $\beta$ -(4-bromo-pyridin-2-yl-amido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (65) 4-methyl-17 $\beta$ -[(2-phenylcyclopropyl)amido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (66) 4-methyl-17 $\beta$ -[(2-fluorophenyl)acetamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (67) 4-methyl-17 $\beta$ -[(pyrid-2-yl)acetamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (68) 4-methyl-17 $\beta$ -[(pyrid-3-yl)acetamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (69) 4-methyl-17 $\beta$ -[(4-methoxyphenyl)acetamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (70) 4-methyl-17 $\beta$ -[3-(2-fluorophenyl)propionamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (71) 4-methyl-17 $\beta$ -[3-(4-fluorophenyl)propionamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (72) 4-methyl-17 $\beta$ -[3-(4-trifluoromethylphenyl)propionamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (73) 4-methyl-17 $\beta$ -[3-(2-chlorophenyl)propionamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (74) 4-methyl-17 $\beta$ -[3-(3-chlorophenyl)propionamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (75) 4-methyl-17 $\beta$ -[3-(4-chlorophenyl)propionamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (76) 4-methyl-17 $\beta$ -[2-trifluoromethylcinnamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (77) 4-methyl-17 $\beta$ -[2-chlorocinnamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (78) 4-methyl-17 $\beta$ -[2-fluorocinnamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (79) 4-methyl-17 $\beta$ -[4-(2,5-dichlorophenyl)butanamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (80) 4-methyl-17 $\beta$ -[4-(2-nitrophenyl)butanamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (81) 4-methyl-17 $\beta$ -[4-(3,4-dimethoxyphenyl)butanamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (82) 4-methyl-17 $\beta$ -[propionamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (83) 4-methyl-17 $\beta$ -[butyramido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (84) 4-methyl-17 $\beta$ -[(2-methyl)cyclopropamido]-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (85) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-phenyl ester;

- (86) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-4-chlorophenyl ester;
- (87) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-4-nitrophenyl ester;
- (88) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-4-methylphenyl ester;
- (89) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-4-bromophenyl ester;
- (90) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-4-fluorophenyl ester;
- (91) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-4-methoxyphenyl ester;
- (92) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-2-nitrophenyl ester;
- (93) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-3-naphthyl ester;
- (94) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-3-trifluoromethylphenyl ester;
- (95) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-ethyl ester;
- (96) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-benzyl ester;
- (97) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-2,2,2-trifluoroethyl ester;
- (98) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-2-methoxyethyl ester;
- (99) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-(2,2-dimethylpropyl) ester;
- (100) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-2-fluoroethyl ester;
- (101) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-allyl ester;
- (102) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-methyl ester;
- (103) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-1-propynoic ester;
- (104) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-(2-methyl-2-butyl) ester;
- (105) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-2-(trifluoromethyl)phenyl ester;
- (106) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-4-(trifluoromethyl)phenyl ester;
- (107) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-2-fluorophenyl ester;
- (108) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-3-fluorophenyl ester;
- (109) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-(2-hydroxy-1-ethyl) ester;
- (110) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-2-methoxyphenyl ester;
- (111) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-3-methoxyphenyl ester;
- (112) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-2-ethoxyphenyl ester;

- (113) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-3-ethoxyphenyl ester;
- (114) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-4-ethoxyphenyl ester;
- (115) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-4-chlorophenyl ester;
- (116) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-3-chlorophenyl ester;
- (117) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-3-(trifluoromethoxy)phenyl ester;
- (118) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-4-(trifluoromethoxy)phenyl ester;
- (119) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-2-propyl ester;
- (120) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-1-propyl ester;
- (121) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-1-butyl ester;
- (122) Carbamic acid, [(5 $\alpha$ ,17 $\beta$ )-3-oxo-4-methyl-azaandrost-1-ene-17-yl]-1-hexyl ester;
- (123) 4-methyl-17 $\beta$ -(phenylsulfonamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (124) 4-methyl-17 $\beta$ -(2-trifluoromethylphenylsulfonamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (125) 4-methyl-17 $\beta$ -(3-trifluoromethylphenylsulfonamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (126) 4-methyl-17 $\beta$ -(2-chlorophenylsulfonamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (127) 4-methyl-17 $\beta$ -(3-chlorophenylsulfonamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (128) 4-methyl-17 $\beta$ -(2-trifluoromethoxyphenylsulfonamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (129) 4-methyl-17 $\beta$ -(2-cyanophenylsulfonamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (130) 4-methyl-17 $\beta$ -(4-methoxyphenylsulfonamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (131) 4-methyl-17 $\beta$ -(3-bromo-5-methoxyphenylsulfonamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (132) 4-methyl-17 $\beta$ -(8-quinolylsulfonamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (133) 4-methyl-17 $\beta$ -(3-cyanophenylsulfonamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (134) 4-methyl-17 $\beta$ -(4-chlorophenylsulfonamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (135) 4-methyl-17 $\beta$ -[(2-methylsulfonyl)phenyl]sulfonamido)-4-aza-5 $\alpha$ -androst-1-ene-3-one;
- (136) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-phenyl urea;
- (137) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-(2-trifluoromethyl)phenyl urea;
- (138) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-(3-trifluoromethyl)phenyl urea;
- (139) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-3-chlorophenyl urea;
- (140) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-(4-chloro-2-trifluoromethylphenyl) urea;
- (141) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-3-acetylphenyl urea;
- (142) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-(5-chloro-2-trifluoromethylphenyl) urea;

- (143) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'- (2,4-[bistrifluoromethyl]phenyl) urea;
- (144) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'- (3,4-difluorophenyl) urea;
- (145) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'- (2,3-dichlorophenyl) urea;
- (146) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'- (2,4-dichlorophenyl) urea;
- (147) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'- (3,4-dichlorophenyl) urea;
- (148) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-2-chlorophenyl) urea;
- (149) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'- (2-chloro-5-trifluoromethylphenyl) urea;
- (150) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'- (4-chloro-3-trifluoromethylphenyl) urea;
- (151) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-(4-trifluoromethyl)phenyl urea;
- (152) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-(2,3-dimethylphenyl) urea;
- (153) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-methyl urea;
- (154) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-ethyl urea;
- (155) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-dimethyl urea;
- (156) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl]-N'-diethyl urea;
- (157) N-[(5 $\alpha$ ,17 $\beta$ )-4-methyl-3-oxo-4-azaandrost-1-en-17-yl] urea;
- (147) ~~N [(5I,17 $\theta$ )-4 methyl 3-oxo 4-azaandrost 1-en-17-yl] N' (3,4 dichlorophenyl) urea;~~
- (148) ~~N [(5I,17 $\theta$ )-4 methyl 3-oxo 4-azaandrost 1-en-17-yl] N' 2-chlorophenyl) urea;~~
- (149) ~~N [(5I,17 $\theta$ )-4 methyl 3-oxo 4-azaandrost 1-en-17-yl] N' (2-chloro-5-trifluoromethylphenyl) urea;~~
- (150) ~~N [(5I,17 $\theta$ )-4 methyl 3-oxo 4-azaandrost 1-en-17-yl] N' (4-chloro-3-trifluoromethylphenyl) urea;~~
- (151) ~~N [(5I,17 $\theta$ )-4 methyl 3-oxo 4-azaandrost 1-en-17-yl] N' (4-trifluoromethyl)phenyl urea;~~
- (152) ~~N [(5I,17 $\theta$ )-4 methyl 3-oxo 4-azaandrost 1-en-17-yl] N' (2,3-dimethylphenyl) urea;~~
- (153) ~~N [(5I,17 $\theta$ )-4 methyl 3-oxo 4-azaandrost 1-en-17-yl] N' methyl urea;~~
- (154) ~~N [(5I,17 $\theta$ )-4 methyl 3-oxo 4-azaandrost 1-en-17-yl] N' ethyl urea;~~
- (155) ~~N [(5I,17 $\theta$ )-4 methyl 3-oxo 4-azaandrost 1-en-17-yl] N' dimethyl urea;~~
- (156) ~~N [(5I,17 $\theta$ )-4 methyl 3-oxo 4-azaandrost 1-en-17-yl] N' diethyl urea;~~



~~(157) — N [(5I,17 $\beta$ ) 4 methyl 3-oxo 4 azaandrost 1-en-17-yl] urea;~~  
and pharmaceutically acceptable salts thereof.

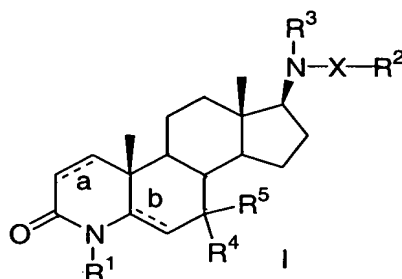
16. **(Original)** A composition comprising a compound according to Claim 14 and a pharmaceutically acceptable carrier.

17. **(Original)** The composition according to Claim 16 additionally comprising a bone-strengthening agent selected from:

- (a) estrogen or an estrogen derivative, alone or in combination with a progestin or progestin derivative,
- (b) a bisphosphonate,
- (c) an antiestrogen or a selective estrogen receptor modulator,
- (d) an osteoclast integrin inhibitor,
- (e) a cathepsin K inhibitor,
- (f) an HMG-CoA reductase inhibitor,
- (g) an osteoclast vacuolar ATPase inhibitor,
- (h) an antagonist of VEGF binding to osteoclast receptors,
- (i) a peroxisome proliferator-activated receptor  $\gamma$ ,
- (j) calcitonin,
- (k) a calcium receptor antagonist,
- (l) parathyroid hormone,
- (m) a growth hormone secretagogue,
- (n) human growth hormone,
- (o) insulin-like growth factor,
- (p) a P-38 protein kinase inhibitor,
- (q) bone morphogenic protein,
- (r) an inhibitor of BMP antagonism,
- (s) a prostaglandin derivative,
- (t) vitamin D or vitamin D derivative,
- (u) vitamin K or vitamin K derivative,
- (v) ipriflavone,
- (w) fluoride salts, and
- (x) dietary calcium supplement.

18. **(Original)** The pharmaceutical composition according to Claim 16, additionally comprising 4-amino-1-hydroxybutylidene-1,1-bisphosphonic acid monosodium salt, trihydrate.

19. **(Cancelled)** The use of a compound of structural formula I:



wherein:

“a” and “b” are independently selected from a single bond and a double bond;

X is selected from:

- (A)  $-\text{C}(\text{O})-$ ,
- (B)  $-\text{C}(\text{O})-\text{O}-$ ,
- (C)  $-\text{C}(\text{O})-\text{N}(\text{R}^7)-$ , and
- (D)  $-\text{S}(\text{O})_n-$ ;

$\text{R}^1$  is selected from:

- (A)  $\text{C}_{1-3}$  alkyl,
- (B)  $\text{C}_{2-3}$  alkenyl,
- (C)  $\text{C}_{3-6}$  cycloalkyl,
- (D)  $\text{C}_{1-3}$  alkyl wherein one or more of the hydrogen atoms has been replaced with a fluorine atom,
- (E) aryl, and
- (F) aryl- $\text{C}_{1-3}$  alkyl;

$\text{R}^2$  is selected from:

- (A) aryl, either unsubstituted or substituted with one to three substituents selected from:
  - (1) halogen,
  - (2) aryl,
  - (3)  $\text{C}_{1-8}$  alkyl,

- (4) C<sub>3-8</sub> cycloalkyl,
- (5) C<sub>3-8</sub> cycloheteroalkyl,
- (6) aryl C<sub>1-6</sub>alkyl,
- (7) amino C<sub>0-6</sub>alkyl,
- (8) C<sub>1-6</sub> alkylamino C<sub>0-6</sub>alkyl,
- (9) (C<sub>1-6</sub> alkyl)<sub>2</sub>amino C<sub>0-6</sub>alkyl,
- (10) aryl C<sub>0-6</sub> alkylamino C<sub>0-6</sub>alkyl,
- (11) (aryl C<sub>0-6</sub> alkyl)<sub>2</sub>amino C<sub>0-6</sub>alkyl,
- (12) C<sub>1-6</sub> alkylthio,
- (13) aryl C<sub>0-6</sub>alkylthio,
- (14) C<sub>1-6</sub> alkylsulfinyl,
- (15) aryl C<sub>0-6</sub>alkylsulfinyl,
- (16) C<sub>1-6</sub> alkylsulfonyl,
- (17) aryl C<sub>0-6</sub>alkylsulfonyl,
- (18) C<sub>1-6</sub> alkoxy C<sub>0-6</sub>alkyl,
- (19) aryl C<sub>0-6</sub> alkoxy C<sub>0-6</sub>alkyl,
- (20) hydroxycarbonyl C<sub>0-6</sub>alkyl,
- (21) C<sub>1-6</sub> alkoxycarbonyl C<sub>0-6</sub>alkyl,
- (22) aryl C<sub>0-6</sub> alkoxycarbonyl C<sub>0-6</sub>alkyl,
- (23) hydroxycarbonyl C<sub>1-6</sub> alkyloxy,
- (24) hydroxy C<sub>0-6</sub>alkyl,
- (25) cyano,
- (26) nitro,
- (27) perfluoroC<sub>1-4</sub>alkyl,
- (28) perfluoroC<sub>1-4</sub>alkoxy,
- (29) C<sub>1-6</sub> alkylcarbonyloxy,
- (30) aryl C<sub>0-6</sub>alkylcarbonyloxy,
- (31) alkyl C<sub>1-6</sub> carbonylamino,
- (32) aryl C<sub>0-6</sub> alkylcarbonylamino,
- (33) C<sub>1-6</sub> alkylsulfonylamino,
- (34) aryl C<sub>0-6</sub>alkylsulfonylamino,
- (35) C<sub>1-6</sub> alkoxycarbonylamino,
- (36) aryl C<sub>0-6</sub> alkoxycarbonylamino,
- (37) C<sub>1-6</sub>alkylaminocarbonylamino,

- (38) aryl C<sub>0-6</sub>alkylaminocarbonylamino,
  - (39) (C<sub>1-6</sub>alkyl)<sub>2</sub> aminocarbonylamino,
  - (40) (aryl C<sub>0-6</sub>alkyl)<sub>2</sub> aminocarbonylamino,
  - (41) (C<sub>1-6</sub>alkyl)<sub>2</sub> aminocarbonyloxy,
  - (42) C<sub>0-6</sub> alkyl carbonyl C<sub>0-6</sub> alkyl,
  - (43) aryl C<sub>0-6</sub> alkyl carbonyl C<sub>0-6</sub> alkyl, and
  - (44) (aryl C<sub>0-6</sub>alkyl)<sub>2</sub> aminocarbonyloxy;
- (B) C<sub>1-8</sub> alkyl, unsubstituted or substituted with one to three substituents independently selected from:
- (1) halogen,
  - (2) C<sub>1-8</sub> alkyl,
  - (3) C<sub>3-8</sub> cycloalkyl,
  - (4) C<sub>3-8</sub> cycloheteroalkyl,
  - (5) amino,
  - (6) C<sub>1-6</sub> alkylamino,
  - (7) (C<sub>1-6</sub> alkyl)<sub>2</sub>amino,
  - (8) aryl C<sub>0-6</sub> alkylamino,
  - (9) (aryl C<sub>0-6</sub> alkyl)<sub>2</sub>amino,
  - (10) C<sub>1-6</sub> alkylthio,
  - (11) aryl C<sub>0-6</sub>alkylthio,
  - (12) C<sub>1-6</sub> alkylsulfinyl,
  - (13) aryl C<sub>0-6</sub>alkylsulfinyl,
  - (14) C<sub>1-6</sub> alkylsulfonyl,
  - (15) aryl C<sub>0-6</sub>alkylsulfonyl,
  - (16) C<sub>1-6</sub> alkoxy,
  - (17) aryl C<sub>0-6</sub> alkoxy,
  - (18) hydroxycarbonyl,
  - (19) C<sub>1-6</sub> alkoxycarbonyl,
  - (20) aryl C<sub>0-6</sub> alkoxycarbonyl,
  - (21) hydroxycarbonyl C<sub>1-6</sub> alkyloxy,
  - (22) hydroxy,
  - (23) cyano,
  - (24) nitro,
  - (25) perfluoroC<sub>1-4</sub>alkyl,

- (26) perfluoroC<sub>1-4</sub>alkoxy,
  - (27) oxo,
  - (28) C<sub>1-6</sub> alkylcarbonyloxy,
  - (29) aryl C<sub>0-6</sub>alkylcarbonyloxy,
  - (30) alkyl C<sub>1-6</sub> carbonylamino,
  - (31) aryl C<sub>0-6</sub> alkylcarbonylamino,
  - (32) C<sub>1-6</sub> alkylsulfonylamino,
  - (33) aryl C<sub>0-6</sub>alkylsulfonylamino,
  - (34) C<sub>1-6</sub> alkoxycarbonylamino,
  - (35) aryl C<sub>0-6</sub> alkoxycarbonylamino,
  - (36) C<sub>1-6</sub>alkylaminocarbonylamino,
  - (37) aryl C<sub>0-6</sub>alkylaminocarbonylamino,
  - (38) (C<sub>1-6</sub>alkyl)<sub>2</sub> aminocarbonylamino,
  - (39) (aryl C<sub>0-6</sub>alkyl)<sub>2</sub> aminocarbonylamino,
  - (40) (C<sub>1-6</sub>alkyl)<sub>2</sub> aminocarbonyloxy,
  - (41) (aryl C<sub>0-6</sub>alkyl)<sub>2</sub> aminocarbonyloxy, and
  - (42) spiro-C<sub>3-8</sub>cycloalkyl;
- (C) perfluoroC<sub>1-6</sub> alkyl,
- (D) aryl-C<sub>1-6</sub> alkyl-, wherein aryl is unsubstituted or substituted with 1 to 3 substituents independently selected from:
- (1) halogen,
  - (2) C<sub>1-8</sub> alkyl,
  - (3) C<sub>3-8</sub> cycloalkyl,
  - (4) aryl,
  - (5) aryl C<sub>1-3</sub> alkyl-,
  - (6) amino,
  - (7) amino C<sub>1-6</sub> alkyl-,
  - (8) C<sub>1-3</sub> acylamino,
  - (9) C<sub>1-3</sub> acylamino C<sub>1-6</sub> alkyl,
  - (10) C<sub>1-6</sub> alkylamino,
  - (11) C<sub>1-6</sub> alkylamino C<sub>1-6</sub> alkyl,
  - (12) di(C<sub>1-6</sub>) alkylamino,
  - (13) di(C<sub>1-6</sub>) alkylamino-C<sub>1-6</sub> alkyl,
  - (14) C<sub>1-4</sub> alkoxy,

- (15) C<sub>1-4</sub> alkylthio,
- (16) C<sub>1-4</sub> alkylsulfinyl,
- (17) C<sub>1-4</sub> alkylsulfonyl,
- (18) C<sub>1-4</sub> alkoxy C<sub>1-6</sub> alkyl,
- (19) hydroxycarbonyl,
- (20) hydroxycarbonyl C<sub>1-6</sub> alkyl,
- (21) C<sub>1-5</sub> alkoxycarbonyl,
- (22) C<sub>1-3</sub> alkoxycarbonyl C<sub>1-6</sub> alkyl,
- (23) hydroxycarbonyl C<sub>1-6</sub> alkyloxy,
- (24) hydroxy,
- (25) hydroxy C<sub>1-6</sub> alkyl,
- (26) cyano,
- (27) nitro,
- (28) trifluoromethyl,
- (29) trifluoromethoxy,
- (30) C<sub>1-5</sub> alkylcarbonyloxy;

and wherein alkyl is substituted with one to three substituents selected from:

- (1) halogen,
- (2) C<sub>3-8</sub> cycloalkyl,
- (3) C<sub>3-8</sub> cycloheteroalkyl,
- (4) amino,
- (5) C<sub>1-6</sub> alkylamino,
- (6) (C<sub>1-6</sub> alkyl)<sub>2</sub>amino,
- (7) aryl C<sub>0-6</sub> alkylamino,
- (8) (aryl C<sub>0-6</sub> alkyl)<sub>2</sub>amino,
- (9) C<sub>1-6</sub> alkylthio,
- (10) aryl C<sub>0-6</sub> alkylthio,
- (11) C<sub>1-6</sub> alkylsulfinyl,
- (12) aryl C<sub>0-6</sub>alkylsulfinyl,
- (13) C<sub>1-6</sub> alkylsulfonyl,
- (14) aryl C<sub>0-6</sub> alkylsulfonyl,
- (15) C<sub>1-6</sub> alkoxy,
- (16) aryl C<sub>0-6</sub> alkoxy,
- (17) hydroxycarbonyl,

- (18) C<sub>1-6</sub> alkoxy carbonyl,
  - (19) aryl C<sub>0-6</sub> alkoxy carbonyl,
  - (20) hydroxy carbonyl C<sub>1-6</sub> alkyloxy,
  - (21) hydroxy,
  - (22) cyano,
  - (23) nitro,
  - (24) trifluoroalkyl,
  - (25) trifluoroalkoxy,
  - (26) oxo,
  - (27) C<sub>1-6</sub> alkyl carbonyloxy,
  - (28) aryl C<sub>0-6</sub> alkyl carbonyloxy,
  - (29) C<sub>1-6</sub> alkyl carbonylamino,
  - (30) aryl C<sub>0-6</sub> alkyl carbonylamino,
  - (31) C<sub>1-6</sub> alkyl sulfonylamino,
  - (32) aryl C<sub>0-6</sub> alkyl sulfonylamino,
  - (33) C<sub>1-6</sub> alkoxy carbonylamino,
  - (34) aryl C<sub>0-6</sub> alkoxy carbonylamino,
  - (35) C<sub>1-6</sub> alkyl aminocarbonylamino,
  - (36) aryl C<sub>0-6</sub> alkyl aminocarbonylamino,
  - (37) (C<sub>1-6</sub> alkyl)<sub>2</sub> aminocarbonylamino,
  - (38) (aryl C<sub>0-6</sub> alkyl)<sub>2</sub> aminocarbonylamino,
  - (39) (C<sub>1-6</sub> alkyl)<sub>2</sub> aminocarbonyloxy,
  - (40) (aryl C<sub>0-6</sub> alkyl)<sub>2</sub> aminocarbonyloxy, and
  - (41) spiro-C<sub>3-8</sub> cycloalkyl;
- (E) C<sub>2-8</sub> alkenyl, unsubstituted or substituted with one to three substituents independently selected from:
- (1) halogen,
  - (2) C<sub>1-8</sub> alkyl,
  - (3) C<sub>3-8</sub> cycloalkyl,
  - (4) C<sub>3-8</sub> cycloheteroalkyl,
  - (5) amino,
  - (6) C<sub>1-6</sub> alkylamino,
  - (7) (C<sub>1-6</sub> alkyl)<sub>2</sub>amino,
  - (8) aryl C<sub>0-6</sub> alkylamino,

- (9) (aryl C<sub>0-6</sub> alkyl)<sub>2</sub>amino,
- (10) C<sub>1-6</sub> alkylthio,
- (11) aryl C<sub>0-6</sub>alkylthio,
- (12) C<sub>1-6</sub> alkylsulfinyl,
- (13) aryl C<sub>0-6</sub>alkylsulfinyl,
- (14) C<sub>1-6</sub> alkylsulfonyl,
- (15) aryl C<sub>0-6</sub>alkylsulfonyl,
- (16) C<sub>1-6</sub> alkoxy,
- (17) aryl C<sub>0-6</sub> alkoxy,
- (18) hydroxycarbonyl,
- (19) C<sub>1-6</sub> alkoxycarbonyl,
- (20) aryl C<sub>0-6</sub> alkoxycarbonyl,
- (21) hydroxycarbonyl C<sub>1-6</sub> alkyloxy,
- (22) hydroxy,
- (23) cyano,
- (24) nitro,
- (25) perfluoroC<sub>1-4</sub>alkyl,
- (26) perfluoroC<sub>1-4</sub>alkoxy,
- (27) oxo,
- (28) C<sub>1-6</sub> alkylcarbonyloxy,
- (29) aryl C<sub>0-6</sub>alkylcarbonyloxy,
- (30) alkyl C<sub>1-6</sub> carbonylamino,
- (31) aryl C<sub>0-6</sub> alkylcarbonylamino,
- (32) C<sub>1-6</sub> alkylsulfonylamino,
- (33) aryl C<sub>0-6</sub>alkylsulfonylamino,
- (34) C<sub>1-6</sub> alkoxycarbonylamino,
- (35) aryl C<sub>0-6</sub> alkoxycarbonylamino,
- (36) C<sub>1-6</sub>alkylaminocarbonylamino,
- (37) aryl C<sub>0-6</sub>alkylaminocarbonylamino,
- (38) (C<sub>1-6</sub>alkyl)<sub>2</sub> aminocarbonylamino,
- (39) (aryl C<sub>0-6</sub>alkyl)<sub>2</sub> aminocarbonylamino,
- (40) (C<sub>1-6</sub>alkyl)<sub>2</sub> aminocarbonyloxy,
- (41) (aryl C<sub>0-6</sub>alkyl)<sub>2</sub> aminocarbonyloxy, and
- (42) spiro-C<sub>3-8</sub>cycloalkyl;



- (F) aryl C<sub>2-8</sub> alkenyl, wherein aryl is unsubstituted or substituted with one to three substituents independently selected from:
- (1) halogen,
  - (2) C<sub>1-8</sub> alkyl,
  - (3) C<sub>3-8</sub> cycloalkyl,
  - (4) aryl,
  - (5) aryl C<sub>1-3</sub> alkyl-,
  - (6) amino,
  - (7) amino C<sub>1-6</sub> alkyl-,
  - (8) C<sub>1-3</sub> acylamino,
  - (9) C<sub>1-3</sub> acylamino C<sub>1-6</sub> alkyl,
  - (10) C<sub>1-6</sub> alkylamino,
  - (11) C<sub>1-6</sub> alkylamino C<sub>1-6</sub> alkyl,
  - (12) di(C<sub>1-6</sub>) alkylamino,
  - (13) di(C<sub>1-6</sub>) alkylamino-C<sub>1-6</sub> alkyl,
  - (14) C<sub>1-4</sub> alkoxy,
  - (15) C<sub>1-4</sub> alkylthio,
  - (16) C<sub>1-4</sub> alkylsulfinyl,
  - (17) C<sub>1-4</sub> alkylsulfonyl,
  - (18) C<sub>1-4</sub> alkoxy C<sub>1-6</sub> alkyl,
  - (19) hydroxycarbonyl,
  - (20) hydroxycarbonyl C<sub>1-6</sub> alkyl,
  - (21) C<sub>1-5</sub> alkoxycarbonyl,
  - (22) C<sub>1-3</sub> alkoxycarbonyl C<sub>1-6</sub> alkyl,
  - (23) hydroxycarbonyl C<sub>1-6</sub> alkyloxy,
  - (24) hydroxy,
  - (25) hydroxy C<sub>1-6</sub> alkyl,
  - (26) cyano,
  - (27) nitro,
  - (28) trifluoromethyl,
  - (29) trifluoromethoxy, and
  - (30) C<sub>1-5</sub> alkylcarbonyloxy;
- (G) C<sub>3-8</sub> cycloalkyl, either unsubstituted or substituted with one to 3 substituents selected from:

- (1) halogen,
- (2) aryl,
- (3) C<sub>1-8</sub> alkyl,
- (4) C<sub>3-8</sub> cycloalkyl,
- (5) C<sub>3-8</sub> cycloheteroalkyl,
- (6) aryl C<sub>1-6</sub>alkyl,
- (7) amino C<sub>0-6</sub>alkyl,
- (8) C<sub>1-6</sub> alkylamino C<sub>0-6</sub>alkyl,
- (9) (C<sub>1-6</sub> alkyl)<sub>2</sub>amino C<sub>0-6</sub>alkyl,
- (10) aryl C<sub>0-6</sub> alkylamino C<sub>0-6</sub>alkyl,
- (11) (aryl C<sub>0-6</sub> alkyl)<sub>2</sub>amino C<sub>0-6</sub>alkyl,
- (12) C<sub>1-6</sub> alkylthio,
- (13) aryl C<sub>0-6</sub>alkylthio,
- (14) C<sub>1-6</sub> alkylsulfinyl,
- (15) aryl C<sub>0-6</sub>alkylsulfinyl,
- (16) C<sub>1-6</sub> alkylsulfonyl,
- (17) aryl C<sub>0-6</sub>alkylsulfonyl,
- (18) C<sub>1-6</sub> alkoxy C<sub>0-6</sub>alkyl,
- (19) aryl C<sub>0-6</sub> alkoxy C<sub>0-6</sub>alkyl,
- (20) hydroxycarbonyl C<sub>0-6</sub>alkyl,
- (21) C<sub>1-6</sub> alkoxycarbonyl C<sub>0-6</sub>alkyl,
- (22) aryl C<sub>0-6</sub> alkoxycarbonyl C<sub>0-6</sub>alkyl,
- (23) hydroxycarbonyl C<sub>1-6</sub> alkyloxy,
- (24) hydroxy C<sub>0-6</sub>alkyl,
- (25) cyano,
- (26) nitro,
- (27) perfluoroC<sub>1-4</sub>alkyl,
- (28) perfluoroC<sub>1-4</sub>alkoxy,
- (29) oxo,
- (30) C<sub>1-6</sub> alkylcarbonyloxy,
- (31) aryl C<sub>0-6</sub>alkylcarbonyloxy,
- (32) alkyl C<sub>1-6</sub> carbonylamino,
- (33) aryl C<sub>0-6</sub> alkylcarbonylamino,
- (34) C<sub>1-6</sub> alkylsulfonylamino,

- (35) aryl C<sub>0-6</sub>alkylsulfonylamino,
  - (36) C<sub>1-6</sub> alkoxycarbonylamino,
  - (37) aryl C<sub>0-6</sub> alkoxycarbonylamino,
  - (38) C<sub>1-6</sub>alkylaminocarbonylamino,
  - (39) aryl C<sub>0-6</sub>alkylaminocarbonylamino,
  - (40) (C<sub>1-6</sub>alkyl)<sub>2</sub> aminocarbonylamino,
  - (41) (aryl C<sub>0-6</sub>alkyl)<sub>2</sub> aminocarbonylamino,
  - (42) (C<sub>1-6</sub>alkyl)<sub>2</sub> aminocarbonyloxy,
  - (43) (aryl C<sub>0-6</sub>alkyl)<sub>2</sub> aminocarbonyloxy,
  - (44) C<sub>0-6</sub> alkylcarbonly C<sub>0-6</sub> alky, and
  - (45) spiro-C<sub>3-8</sub>cycloalkyl;
- (H) cycloheteroalkyl, unsubstituted or substituted with one to three substituents selected from:
- (1) halogen,
  - (2) aryl,
  - (3) C<sub>1-8</sub> alkyl,
  - (4) C<sub>3-8</sub> cycloalkyl,
  - (5) C<sub>3-8</sub> cycloheteroalkyl,
  - (6) aryl C<sub>1-6</sub>alkyl,
  - (7) amino C<sub>0-6</sub>alkyl,
  - (8) C<sub>1-6</sub> alkylamino C<sub>0-6</sub>alkyl,
  - (9) (C<sub>1-6</sub> alkyl)<sub>2</sub>amino C<sub>0-6</sub>alkyl,
  - (10) aryl C<sub>0-6</sub> alkylamino C<sub>0-6</sub>alkyl,
  - (11) (aryl C<sub>0-6</sub> alkyl)<sub>2</sub>amino C<sub>0-6</sub>alkyl,
  - (12) C<sub>1-6</sub> alkylthio,
  - (13) aryl C<sub>0-6</sub>alkylthio,
  - (14) C<sub>1-6</sub> alkylsulfinyl,
  - (15) aryl C<sub>0-6</sub>alkylsulfinyl,
  - (16) C<sub>1-6</sub> alkylsulfonyl,
  - (17) aryl C<sub>0-6</sub>alkylsulfonyl,
  - (18) C<sub>1-6</sub> alkoxy C<sub>0-6</sub>alkyl,
  - (19) aryl C<sub>0-6</sub> alkoxy C<sub>0-6</sub>alkyl,
  - (20) hydroxycarbonyl C<sub>0-6</sub>alkyl,
  - (21) C<sub>1-6</sub> alkoxycarbonyl C<sub>0-6</sub>alkyl,

- (22) aryl C<sub>0-6</sub> alkoxy carbonyl C<sub>0-6</sub> alkyl,
- (23) hydroxy carbonyl C<sub>1-6</sub> alkyloxy,
- (24) hydroxy C<sub>0-6</sub> alkyl,
- (25) cyano,
- (26) nitro,
- (27) perfluoro C<sub>1-4</sub> alkyl,
- (28) perfluoro C<sub>1-4</sub> alkoxy,
- (29) oxo,
- (30) C<sub>1-6</sub> alkyl carbonyloxy,
- (31) aryl C<sub>0-6</sub> alkyl carbonyloxy,
- (32) alkyl C<sub>1-6</sub> carbonylamino,
- (33) aryl C<sub>0-6</sub> alkyl carbonylamino,
- (34) C<sub>1-6</sub> alkyl sulfonylamino,
- (35) aryl C<sub>0-6</sub> alkyl sulfonylamino,
- (36) C<sub>1-6</sub> alkoxy carbonylamino,
- (37) aryl C<sub>0-6</sub> alkoxy carbonylamino,
- (38) C<sub>1-6</sub> alkyl aminocarbonylamino,
- (39) aryl C<sub>0-6</sub> alkyl aminocarbonylamino,
- (40) (C<sub>1-6</sub> alkyl)<sub>2</sub> aminocarbonylamino,
- (41) (aryl C<sub>0-6</sub> alkyl)<sub>2</sub> aminocarbonylamino,
- (42) (C<sub>1-6</sub> alkyl)<sub>2</sub> aminocarbonyloxy,
- (43) (aryl C<sub>0-6</sub> alkyl)<sub>2</sub> aminocarbonyloxy, and
- (44) spiro-C<sub>3-8</sub> cycloalkyl;

provided that any heteroatom substituent is bonded to a carbon atom in the cycloheteroalkyl ring;

R<sup>3</sup> is selected from H, perfluoro C<sub>1-8</sub> alkyl, and C<sub>1-8</sub> alkyl, unsubstituted or substituted with one to three halogen atoms, or R<sup>2</sup> and R<sup>3</sup>, together with the nitrogen atom, and the "X" moiety to which they are attached, form a 5- to 7-membered heterocyclic ring, optionally containing one or two additional heteroatoms selected from N, S, and O, optionally having one or more degrees of unsaturation, optionally fused to a 6-membered heteroaromatic or aromatic ring, either unsubstituted or substituted with one to three substituents selected from:

- (1) halogen,
- (2) aryl,
- (3) C<sub>1-8</sub> alkyl,

- (4) C<sub>3-8</sub> cycloalkyl,
- (5) C<sub>3-8</sub> cycloheteroalkyl,
- (6) aryl C<sub>1-6</sub>alkyl,
- (7) amino C<sub>0-6</sub>alkyl,
- (8) C<sub>1-6</sub> alkylamino C<sub>0-6</sub>alkyl,
- (9) (C<sub>1-6</sub> alkyl)<sub>2</sub>amino C<sub>0-6</sub>alkyl,
- (10) aryl C<sub>0-6</sub> alkylamino C<sub>0-6</sub>alkyl,
- (11) (aryl C<sub>0-6</sub> alkyl)<sub>2</sub>amino C<sub>0-6</sub>alkyl,
- (12) C<sub>1-6</sub> alkylthio,
- (13) aryl C<sub>0-6</sub>alkylthio,
- (14) C<sub>1-6</sub> alkylsulfinyl,
- (15) aryl C<sub>0-6</sub>alkylsulfinyl,
- (16) C<sub>1-6</sub> alkylsulfonyl,
- (17) aryl C<sub>0-6</sub>alkylsulfonyl,
- (18) C<sub>1-6</sub> alkoxy C<sub>0-6</sub>alkyl,
- (19) aryl C<sub>0-6</sub> alkoxy C<sub>0-6</sub>alkyl,
- (20) hydroxycarbonyl C<sub>0-6</sub>alkyl,
- (21) C<sub>1-6</sub> alkoxycarbonyl C<sub>0-6</sub>alkyl,
- (22) aryl C<sub>0-6</sub> alkoxycarbonyl C<sub>0-6</sub>alkyl,
- (23) hydroxycarbonyl C<sub>1-6</sub> alkyloxy,
- (24) hydroxy C<sub>0-6</sub>alkyl,
- (25) cyano,
- (26) nitro,
- (27) perfluoroC<sub>1-4</sub>alkyl,
- (28) perfluoroC<sub>1-4</sub>alkoxy,
- (29) oxo,
- (30) C<sub>1-6</sub> alkylcarbonyloxy,
- (31) aryl C<sub>0-6</sub>alkylcarbonyloxy,
- (32) C<sub>1-6</sub> alkyl carbonylamino,
- (33) aryl C<sub>0-6</sub> alkylcarbonylamino,
- (34) C<sub>1-6</sub> alkylsulfonylamino,
- (35) aryl C<sub>0-6</sub>alkylsulfonylamino,
- (36) C<sub>1-6</sub> alkoxycarbonylamino,
- (37) aryl C<sub>0-6</sub> alkoxycarbonylamino,

- (38) C<sub>1-6</sub>alkylaminocarbonylamino,
- (39) aryl C<sub>0-6</sub>alkylaminocarbonylamino,
- (40) (C<sub>1-6</sub>alkyl)<sub>2</sub> aminocarbonylamino,
- (41) (aryl C<sub>0-6</sub>alkyl)<sub>2</sub> aminocarbonylamino,
- (42) (C<sub>1-6</sub>alkyl)<sub>2</sub> aminocarbonyloxy,
- (43) (aryl C<sub>0-6</sub>alkyl)<sub>2</sub> aminocarbonyloxy, and
- (44) spiro-C<sub>3-8</sub>cycloalkyl,

provided that any heteroatom substituent is bonded to a carbon atom in the heterocyclic ring;

R<sup>4</sup> and R<sup>5</sup> are each independently selected from

- (1) hydrogen,
- (2) halogen,
- (3) aryl,
- (4) C<sub>1-8</sub> alkyl,
- (5) C<sub>3-8</sub> cycloalkyl,
- (6) C<sub>3-8</sub> cycloheteroalkyl,
- (7) aryl C<sub>1-6</sub>alkyl,
- (8) amino C<sub>0-6</sub>alkyl,
- (9) C<sub>1-6</sub> alkylamino C<sub>0-6</sub>alkyl,
- (10) (C<sub>1-6</sub> alkyl)<sub>2</sub>amino C<sub>0-6</sub>alkyl,
- (11) aryl C<sub>0-6</sub> alkylamino C<sub>0-6</sub>alkyl,
- (12) (aryl C<sub>0-6</sub> alkyl)<sub>2</sub>amino C<sub>0-6</sub>alkyl,
- (13) C<sub>1-6</sub> alkylthio,
- (14) aryl C<sub>0-6</sub>alkylthio,
- (15) C<sub>1-6</sub> alkylsulfinyl,
- (16) aryl C<sub>0-6</sub>alkylsulfinyl,
- (17) C<sub>1-6</sub> alkylsulfonyl,
- (18) aryl C<sub>0-6</sub>alkylsulfonyl,
- (19) C<sub>1-6</sub> alkoxy C<sub>0-6</sub>alkyl,
- (20) aryl C<sub>0-6</sub> alkoxy C<sub>0-6</sub>alkyl,
- (21) hydroxycarbonyl C<sub>0-6</sub>alkyl,
- (22) C<sub>1-6</sub> alkoxycarbonyl C<sub>0-6</sub>alkyl,
- (23) aryl C<sub>0-6</sub> alkoxycarbonyl C<sub>0-6</sub>alkyl,
- (24) hydroxycarbonyl C<sub>1-6</sub> alkyloxy,

- (25) hydroxy C<sub>0-6</sub>alkyl,
- (26) cyano,
- (27) nitro,
- (28) perfluoroC<sub>1-4</sub>alkyl,
- (29) perfluoroC<sub>1-4</sub>alkoxy,
- (30) C<sub>1-6</sub> alkylcarbonyloxy,
- (31) aryl C<sub>0-6</sub>alkylcarbonyloxy,
- (32) C<sub>1-6</sub> alkylcarbonylamino,
- (33) aryl C<sub>0-6</sub> alkylcarbonylamino,
- (34) C<sub>1-6</sub> alkylsulfonylamino,
- (35) aryl C<sub>0-6</sub>alkylsulfonylamino,
- (36) C<sub>1-6</sub> alkoxycarbonylamino,
- (37) aryl C<sub>0-6</sub> alkoxycarbonylamino,
- (38) C<sub>1-6</sub>alkylaminocarbonylamino,
- (39) aryl C<sub>0-6</sub>alkylaminocarbonylamino,
- (40) (C<sub>1-6</sub>alkyl)<sub>2</sub> aminocarbonylamino,
- (41) (aryl C<sub>0-6</sub>alkyl)<sub>2</sub> aminocarbonylamino,
- (42) (C<sub>1-6</sub>alkyl)<sub>2</sub> aminocarbonyloxy,
- (43) (aryl C<sub>0-6</sub>alkyl)<sub>2</sub> aminocarbonyloxy, and
- (44) spiro-C<sub>3-8</sub>cycloalkyl;

or, R<sup>4</sup> and R<sup>5</sup> together form an oxo group or =CH-R<sup>6</sup> or a spiro C 3-7 cycloalkyl ring substituted with R<sup>6</sup>;

R<sup>6</sup> is selected from hydrogen and C<sub>1-4</sub> alkyl;

R<sup>7</sup> is selected from hydrogen, perfluoro C<sub>1-8</sub> alkyl, and C<sub>1-8</sub> alkyl, unsubstituted or substituted with one to three halogen atoms.

n is selected from: 0, 1, and 2;

and pharmaceutically acceptable salts thereof;

for the preparation of a medicament useful for modulating the androgen receptor in a tissue selective manner in a patient in need of such modulation.

20. **(Cancelled)** The use according to Claim 19 wherein modulating the androgen receptor comprises agonizing the androgen receptor in a patient in need thereof.

21. **(Cancelled)** The use according to Claim 19 wherein modulating the androgen receptor is useful in treating a condition caused by androgen deficiency or which can be ameliorated by androgen administration selected from: osteoporosis, osteopenia, glucocorticoid-induced osteoporosis, periodontal disease, HIV-wasting, cancer cachexia, bone fracture, bone damage following bone reconstructive surgery, muscular dystrophies, sarcopenia, frailty, aging skin, male hypogonadism, post-menopausal symptoms in women, female sexual dysfunction, premature ovarian failure, autoimmune disease, atherosclerosis, hypercholesterolemia, hyperlipidemia, aplastic anemia and other hematopoietic disorders, pancreatic cancer, renal cancer, arthritis and joint repair.